

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
1 February 2001 (01.02.2001)

PCT

(10) International Publication Number
WO 01/07436 A2

(51) International Patent Classification⁷: C07D 403/06,
401/06, 409/14, 409/12, 471/04, 241/08, 495/04, 401/14,
409/06, 403/14, 491/04, 417/14, A61K 31/496, A61P 7/02

(US). BURNS, Christopher, J. [US/US]; Unit 49, 138 Montrose Avenue, Rosemont, PA 19010 (US). JIANG, John, Z. [CN/US]; 1003 Bayberry Lane, Collegeville, PA 19426 (US). LI, Aiwen [CN/US]; Apartment F0101, 2828 Egypt Road, Audubon, PA 19403 (US). MYERS, Michael, R. [US/US]; 205 Lincoln Road, Reading, PA 19606 (US). LAU, Wan, F. [MY/US]; 64 Prospect Hill Road, Groton, CT 06340-5627 (US). POLI, Gregory, B. [US/US]; 1415 Azalean Courts, Perkasie, PA 18944 (US).

(21) International Application Number: PCT/IB00/01156

(74) Agent: OEHLER, Ross, J.; Aventis Pharmaceuticals Products Inc., Routes 202-206, P.O. Box 6800, Mail Code EMC-G1, Bridgewater, NJ 08807-0800 (US).

(22) International Filing Date: 26 July 2000 (26.07.2000)

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

(25) Filing Language: English

(84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

(26) Publication Language: English

Published:

— Without international search report and to be republished upon receipt of that report.

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(30) Priority Data:
09/363,196 28 July 1999 (28.07.1999) US

(71) Applicant (*for all designated States except US*): AVENTIS PHARMACEUTICALS PRODUCTS INC. [US/US]; 500 Arcola Road, Mail Stop #3C43, Collegeville, PA 19426-0997 (US).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): EWING, William, R. [US/US]; 805 Graystone Lane, Downingtown, PA 19335 (US). BECKER, Michael, R. [US/US]; 62 Church Road, Norristown, PA 19401 (US). CHOI-SLEDESKI, Yong, Mi [US/US]; 5 Dana Drive, Collegeville, PA 19426 (US). PAULS, Heinz, W. [CA/US]; 3770 Worthington Circle, Collegeville, PA 19426 (US). HE, Wei [US/US]; 1005 Bayberry Lane, Collegeville, PA 19426 (US). CONDON, Stephen, M. [US/US]; 2130 Art School Road, Chester Springs, PA 19425 (US). DAVIS, Roderick, S. [US/US]; Apartment B16, 1100 West Chester Pike, West Chester, PA 19382 (US). HANNEY, Barbara, A. [US/US]; 2813 Upper Right Road, Pennsburg, PA 18073 (US). SPADA, Alfred, P. [US/US]; 473 Painter Wag, Lansdale, PA 19446

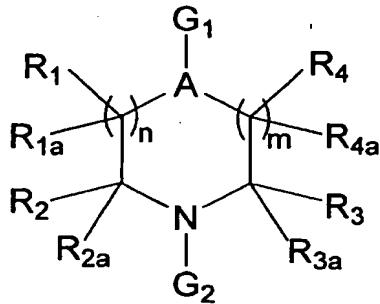
WO 01/07436 A2

(54) Title: SUBSTITUTED OXOAZAHETEROCYCLYL COMPOUNDS

(57) Abstract: This invention is directed to oxoazaheterocyclyl compounds which inhibit Factor Xa, to oxoazaheterocyclyl compounds which inhibit both Factor Xa and Factor IIa, to pharmaceutical compositions comprising these compounds, to intermediates useful for preparing these compounds, to a method of directly inhibiting Factor Xa and to a method of simultaneously directly inhibiting Factor Xa and Factor IIa.

Claims:

1. A compound of formula I



or a pharmaceutically acceptable salt thereof, pharmaceutically acceptable prodrug thereof, an

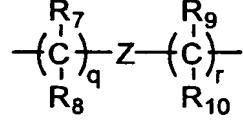
5 N-oxide thereof, a hydrate thereof or a solvate thereof, wherein

G₁ and G₂ are L₁-Cy₁ or L₂-Cy₂, provided that when R₁ and R_{1a} or R₄ and R_{4a} taken together form O or S, then G₁ is L₂-Cy₂ and G₂ is L₁-Cy₁, or when R₂ and R_{2a} or R₃ and R_{3a} taken together form O or S, then G₁ is L₁-Cy₁ and G₂ is L₂-Cy₂;

Cy₁ and Cy₂ are independently selected from optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, optionally substituted heterocyclil, optionally substituted heterocyclenyl, optionally substituted fused arylcycloalkyl, optionally substituted fused arylcycloalkenyl, optionally substituted fused arylheterocyclil, optionally substituted fused arylheterocyclenyl, optionally substituted fused heteroarylalkyl, optionally substituted fused heteroarylalkenyl, optionally substituted fused heteroarylheterocyclil and optionally substituted fused heteroarylheterocyclenyl;

10 L₁ is absent, O, NR₅, -S(O)p-, -S(O)pNR₅-, -C(X)Y- or -L₃-Q-L₄-Q'-L₅-, -C(O)Y-C(X)Y-, -C(X)YC(O)-, -C(O)NR₅-S(O)p-, or -C(O)C(O)NR₅S(O)p-;

15 L₂ is absent or a group of formula



20 L₃ and L₅ are independently absent, optionally substituted alkylene, optionally substituted alkenylene or optionally substituted alkynylene;

L₄ is optionally substituted alkylene, optionally substituted alkenylene, or optionally substituted alkynylene;

Q and Q' are independently absent, O, S, NR₅, -S(O)p-, -S(O)pNR₅- or -C(X)Y-;

25 A is CH or N;

- R₁, R_{1a}, R₂, R_{2a}, R₃, R_{3a}, R₄ and R_{4a} are independently selected from hydrogen, carboxy, alkoxy carbonyl, Y₁Y₂NC(O)-, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl and optionally substituted heteroaralkyl, or R₁ and R_{1a}, R₂ and R_{2a}, R₃ and R_{3a}, or R₄ and R_{4a} taken together form O or S; or R₁ and R₂ together with the carbon atoms through which R₁ and R₂ are linked form a cycloalkyl group, cycloalkenyl group, heterocyclyl group, or heterocyclenyl group; or R₃ and R₄ together with the carbon atoms through which R₃ and R₄ are linked form a cycloalkyl group, cycloalkenyl group, heterocyclyl group, or heterocyclenyl group; or R_{1a} and R_{2a} are absent and R₁ and R₂ together with the carbon atoms through which R₁ and R₂ are linked form an aryl or heteroaryl group; or R_{3a} and R_{4a} are absent and R₃ and R₄ together with the carbon atoms through which R₃ and R₄ are linked form an aryl or heteroaryl group; or one or more of the pairs R₁ and R_{1a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; or R₂ and R_{2a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; or R₃ and R_{3a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; or R₄ and R_{4a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group;
- m and n are independently 0, 1 or 2, provided that m and n are not both 0 and further provided that when R₁ and R_{1a} taken together form O or S, n is 1, and when R₄ and R_{4a} taken together form O or S, m is 1;
- R₅ is hydrogen, optionally substituted alkyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, R₆O(CH₂)_v-, R₆O₂C(CH₂)_x-, Y₁Y₂NC(O)(CH₂)_x-, or Y₁Y₂N(CH₂)_v-;
- R₆ is hydrogen, optionally substituted alkyl, optionally substituted aralkyl or optionally substituted heteroaralkyl;
- Y₁ and Y₂ are independently hydrogen, optionally substituted alkyl, optionally substituted alkoxy, optionally substituted aryloxy, optionally substituted aryl, optionally substituted aralkyl or optionally substituted heteroaralkyl, or Y₁ and Y₂ taken together with the N through which Y₁ and Y₂ are linked form a monocyclic heterocyclyl;
- R₇, R₈, R₉ and R₁₀ are independently selected from hydrogen, hydroxy, alkoxy, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl and optionally substituted heteroaralkyl, provided that only one of R₇ and R₈ or one of R₉ and R₁₀ is hydroxy or alkoxy, and further provided when any of R₇, R₈, R₉ and R₁₀ is hydroxy or alkoxy, then the hydroxy or alkoxy is not α -substituted to an N, O or S in Z;
- X is O or S; Y is absent or is selected from O, S and NR₅;

- Z is absent or is selected from optionally substituted lower alkenylene, optionally substituted lower alkynylene, O, -C(O)-, S(O)p, NR₅, -NR₅C(O)- and -C(O)NR₅;
- x is 1, 2, 3 or 4; v is 2, 3 or 4;
- p is 1 or 2; and q and r are independently 0, 1, 2 or 3, provided that q and r are not both 0,
- 5 provided that when L₁ is O, NR₅, -S(O)p-, -S(O)pNR₅-, -C(X)Y- or -L₃-Q-L₄-Q'-L₅- and R₃ and R_{3a} taken together form O or S, then R₂ and R_{2a} are independently selected from hydrogen, alkyl, aminoalkyl, alkylaminoalkyl, alkoxy, alkoxyalkyl, alkoxyaminoalkyl, cycloalkylalkylamino, benzyloxyalkyl, isopropyl, aminomethyl, methoxyethylaminomethyl, piperazin, pyrrolidin, ethoxymethyl, benzyloxymethyl, methoxymethyl, isobutyl, isopropylamino or
- 10 isopropylaminomethyl, provided that R₂ and R_{2a} are not each hydrogen;
- or when L₁ is O, NR₅, -S(O)p-, -S(O)pNR₅-, -C(X)Y- or -L₃-Q-L₄-Q'-L₅- and R₃ and R_{3a} taken together form O or S, then R₄ and R_{4a} taken together form O or S;
- or when L₁ is O, NR₅, -S(O)p-, -S(O)pNR₅-, -C(X)Y- or -L₃-Q-L₄-Q'-L₅- and R₃ and R_{3a} taken together form O or S, then Cy₁ is thiophen-isoxazol, thiophen-pyrazol, thiophen-oxadiazol,
- 15 thiophen-thiadiazol, thiophen-triazol, thiophen-pyridin or phenyl-triazol and Cy₂ is amino-quinazolin or pyrrolo-pyridin;
- or when L₁ is O, NR₅, -S(O)p-, -S(O)pNR₅-, -C(X)Y- or -L₃-Q-L₄-Q'-L₅- then R₁ and R₂ together with the carbon atoms through which R₁ and R₂ are linked form a cycloalkyl group, cycloalkenyl group, heterocycl group, or heterocyclenyl group; or R₃ and R₄ together with the carbon atoms
- 20 through which R₃ and R₄ are linked form a cycloalkyl group, cycloalkenyl group, heterocycl group, or heterocyclenyl group; or R_{1a} and R_{2a} are absent and R₁ and R₂ together with the carbon atoms through which R₁ and R₂ are linked form an aryl or heteroaryl group; or R_{3a} and R_{4a} are absent and R₃ and R₄ together with the carbon atoms through which R₃ and R₄ are linked form an aryl or heteroaryl group; or one or more of the pairs R₁ and R_{1a} taken together
- 25 with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; or R₂ and R_{2a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; or R₃ and R_{3a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; or R₄ and R_{4a} taken together with the carbon atom through which they are
- 30 linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group;.
- or when L₁ is O, NR₅, -S(O)p-, -S(O)pNR₅-, -C(X)Y- or -L₃-Q-L₄-Q'-L₅-, then R₁, R_{1a}, R₂, R_{2a}, R₃, R_{3a}, R₄ and R_{4a} are independently Y₁Y₂NC(O)- and Y₁ and Y₂ are independently hydrogen, optionally substituted alkoxy or optionally substituted aryloxy, but Y₁ and Y₂ are not simultaneously hydrogen,
- 35 or when L₁ is O, NR₅, -S(O)p-, -S(O)pNR₅-, -C(X)Y- or -L₃-Q-L₄-Q'-L₅-, then Z is -C(O)-.

2. A compound according to claim 1 wherein Cy₂ is optionally substituted heteroaryl, optionally substituted heterocyclyl, optionally substituted heterocyclyenyl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, optionally substituted fused heteroarylhetereocyclyl, optionally substituted fused heteroarylcycloalkenyl, optionally substituted fused heteroarylcycloalkyl, fused arylhetereocyclyl, optionally substituted fused arylhetereocyclyenyl, or optionally substituted aryl.

5
3. A compound according to claim 1 wherein L₁ is absent, optionally substituted alkylene, 10 optionally substituted alkenylene, -C(O)NR₅- , -S(O)p-, -C(O)-, -C(O)Y-C(X)Y-, -C(O)O-, C(O)NR₅-S(O)p-, -C(O)-C(O)NR₅S(O)p-, -S(O)pNR₅- , -C(O)-alkylene-O-, -C(O)-alkenylene-O-, -S(O)p-alkenylene-, -S(O)p-alkylene-, -C(O)-alkylene-C(O)-, -C(O)-alkylene-S(O)p-, -S(O)p-alkylene-C(O)-, -C(O)-alkylene, -C(O)-alkenylene-, -alkylene-C(O)NR₅- , methylene, -S(O)p-alkenylene-, -C(O)C(O)NR₅ or -C(O)CH(OH)-alkylene-.

15
4. A compound according to claim 1 wherein Cy₁ is optionally substituted aryl, heteroaryl, optionally substituted heterocyclyl, optionally substituted heterocyclyenyl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, optionally substituted fused arylcycloalkyl, optionally substituted fused arylcycloalkenyl, optionally substituted fused arylhetereocyclyl, 20 optionally substituted fused arylhetereocyclyenyl, optionally substituted fused heteroarylcycloalkyl, optionally substituted fused heteroarylcycloalkenyl, optionally substituted fused heteroarylhetereocyclyl or optionally substituted fused heteroarylhetereocyclyenyl.

5
5. A compound according to claim 1 wherein R₄ is alkoxyalkyl, alkylthioalkyl, 25 alkylsulfinylalkyl, alkylsulfonylalkyl, alkoxy carbonylalkyl, hydroxyalkyl, acylalkyl, acylaminoalkyl or carbamoylalkyl; and R_{4a} is hydrogen and, wherein R₂ alkoxyalkyl, alkoxy carbonylalkyl, carboxyalkyl, hydroxyalkyl or heterocyclylalkyloxycarbonyl, and R_{2a} is hydrogen.

6. A compound according to claim 1 wherein
30 A is N;
G₁ is L₁-Cy₁ and G₂ is L₂-Cy₂;
L₁ and L₂ are independently absent, methylene, ethylene, sulfonyl, alkylenesulfonyl or alkylene; Cy₁ is thiaheteroaryl, thiaheterocyclyl, thiaheterocyclyenyl, fused thiaheteroarylcyloalkyl, fused thiaheteroarylcyloalkenyl, fused heteroarylthiacycloalkyl or fused heteroarylthiacycloalkenyl, 35 thiophen-isoxazolyl, thieno-pyridineyl, benzo-thiophen, indolyl, morpholinyl, aminopyridine-

- benzyl, pyrimidin-benzyl, aminoquinazolin, pyrimidin-piperidin, thiophen-pyrazol, thiophen-oxadiazol, thiophen-thiadiazol, thiophen-triazol, thiophen-pyridin, phenyl-triazol optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, optionally substituted heterocycl, optionally substituted heterocyclenyl, optionally substituted fused arylcycloalkyl, optionally substituted fused arylcycloalkenyl, optionally substituted fused arylheterocycl, optionally substituted fused arylheterocyclenyl, optionally substituted fused heteroarylcycloalkyl, optionally substituted fused heteroarylcycloalkenyl, optionally substituted fused heteroarylhetereocycl or optionally substituted fused heteroarylhetereocyclenyl;
- 10 Cy₂ is amino-quinazolin, benzhydrylidene-amino, pyrrolo-pyridin, bipyridinyl, pyridin-benzyl, thiophenyl, thiophen-benzyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, optionally substituted heterocycl, optionally substituted heterocyclenyl, optionally substituted fused arylcycloalkyl, optionally substituted fused arylcycloalkenyl, optionally substituted fused arylheterocycl,
- 15 optionally substituted fused arylheterocyclenyl, optionally substituted fused heteroarylcycloalkyl, optionally substituted fused heteroarylcycloalkenyl, optionally substituted fused heteroarylhetereocycl, azaheteroaryl, azaheterocycl, azaheterocyclenyl, fused azaheteroarylcycloalkyl, fused azaheteroarylcycloalkenyl, fused heteroarylazacycloalkyl or fused heteroarylazacycloalkenyl;
- 20 R₃ and R_{3a} taken together form O or S;
R₂ and R_{2a} are independently selected from hydrogen, alkyl, aminoalkyl, alkylaminoalkyl, alkoxy, alkoxyalkyl, alkoxyaminoalkyl, cycloalkalkylamino, benzyloxyalkyl, isopropyl, aminomethyl, methoxyethylaminomethyl, piperazin, pyrrolidin, ethoxymethyl, benzyloxymethyl, methoxymethyl, isobutyl, isopropylamino or isopropylaminomethyl, provided that R₂ and R_{2a} are not each hydrogen, or carboxy, alkoxycarbonyl, Y₁Y₂NC(O)-, wherein Y₁ and Y₂ are defined as in claim 1, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl and optionally substituted heteroaralkyl; or R₁ and R₂ together with the carbon atoms through which R₁ and R₂ are linked form a cycloalkyl group, cycloalkenyl group, heterocycl group, or heterocyclenyl group; or R_{1a} and R_{2a} are absent and R₁ and R₂
- 25 together with the carbon atoms through which R₁ and R₂ are linked form an aryl or heteroaryl group; or R₂ and R_{2a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group;
- 30 R₁ and R_{1a} are independently selected from hydrogen, carboxy, alkoxycarbonyl, Y₁Y₂NC(O)-, wherein Y₁ and Y₂ are defined as in claim 1, optionally substituted alkyl, optionally substituted

aryl, optionally substituted aralkyl, optionally substituted heteroaryl and optionally substituted heteroaralkyl;

or R₁ and R_{1a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group;

- 5 R₄ and R_{4a} are independently selected from hydrogen, carboxy, alkoxycarbonyl, Y₁Y₂NC(O)-, wherein Y₁ and Y₂ are defined as in claim 1, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl and optionally substituted heteroaralkyl or R₄ and R_{4a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group, or R₄ and R_{4a} taken together form O or
10 S;
and m and n are each 1.

7. A compound according to claim 6 wherein

A is N;

- 15 G₁ is L₁-Cy₁ and G₂ is L₂-Cy₂;
L₁ is sulfonyl or alkylenesulfonyl;
L₂ is absent, methylene, ethylene or alkylene;
Cy₁ is thiaheteroaryl, thiaheterocyclyl, thiaheterocyclenyl, fused thiaheteroarylcyloalkyl, fused
thiaheteroarylcyloalkenyl, fused heteroarylthiacycloalkyl or fused heteroarylthiacycloalkenyl,
20 thiophen-isoxazolyl, thieno-pyridinyl, benzo-thiophen, indolyl, morpholinyl, optionally substituted
aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted
cycloalkenyl, optionally substituted heterocyclyl, optionally substituted heterocyclenyl, optionally
substituted fused arylcycloalkyl, optionally substituted fused arylcycloalkenyl, optionally
substituted fused arylheterocyclyl, optionally substituted fused arylheterocyclenyl, optionally
25 substituted fused heteroarylcyloalkyl, optionally substituted fused heteroarylcyloalkenyl,
optionally substituted fused heteroarylheterocyclyl or optionally substituted fused
heteroarylheterocyclenyl;
Cy₂ is amino-quinazolin, benzhydrylidene-amino, pyrrolo-pyridin, optionally substituted aryl,
optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted
30 cycloalkenyl, optionally substituted heterocyclyl, optionally substituted heterocyclenyl, optionally
substituted fused arylcycloalkyl, optionally substituted fused arylcycloalkenyl, optionally
substituted fused arylheterocyclyl, optionally substituted fused arylheterocyclenyl, optionally
substituted fused heteroarylcyloalkyl, optionally substituted fused heteroarylcyloalkenyl,
optionally substituted fused heteroarylheterocyclyl, optionally substituted fused
35 heteroarylheterocyclenyl, azaheteroaryl, azaheterocyclyl, azaheterocyclenyl, fused

azaheteroarylalkyl, fused azaheteroarylalkenyl, fused heteroarylazacycloalkyl or fused heteroarylazacycloalkenyl;

R₃ and R_{3a} taken together form O or S;

R₂ and R_{2a} are independently selected from hydrogen, alkyl, aminoalkyl, alkylaminoalkyl, alkoxy, 5 alkoxyalkyl, alkoxyaminoalkyl, cycloalkylalkylamino, benzyloxyalkyl, isopropyl, aminomethyl, methoxyethylaminomethyl, piperazin, pyrrolidin, ethoxymethyl, benzyloxymethyl, methoxymethyl, isobutyl, isopropylamino or isopropylaminomethyl, provided that R₂ and R_{2a} are not each hydrogen;

R₁, R_{1a}, R₄ and R_{4a} are independently selected from hydrogen, carboxy, alkoxycarbonyl,

10 Y₁Y₂NC(O)-, wherein Y₁ and Y₂ are defined as in claim 1, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl and optionally substituted heteroaralkyl;

or the pairs R₁ and R_{1a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; or R₄ and R_{4a} taken together with the

15 carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group;

and m and n are each 1.

20 8. A compound according to claim 6 wherein

A is N;

G₁ is L₁-Cy₁ and G₂ is L₂-Cy₂;

L₁ and L₂ are independently absent, methylene, ethylene or alkylene;

Cy₁ is thiophen-isoxazolyl, aminopyridine-benzyl, benzo-thiophen, pyrimidin-benzyl,

25 aminoquinazolin, pyrimidin-piperidin, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, optionally substituted heterocycl, optionally substituted heterocyclenyl, optionally substituted fused arylcycloalkyl, optionally substituted fused arylcycloalkenyl, optionally substituted fused arylheterocycl, optionally substituted fused arylheterocyclenyl, optionally substituted fused heteroarylcyloalkyl, optionally substituted fused heteroarylcyloalkenyl, optionally substituted fused heteroarylheterocycl or optionally substituted fused heteroarylheterocyclenyl;

30 Cy₂ is bipyridinyl, amino-quinazolin, pyridin-benzyl, thiophenyl, thiophen-benzyl, pyrrolo-pyridin, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, optionally substituted heterocycl, optionally substituted heterocyclenyl, optionally substituted fused heterocycl, optionally substituted fused arylcycloalkyl, optionally substituted fused

35 heterocyclenyl, optionally substituted fused arylcycloalkyl, optionally substituted fused

arylcy cloalkenyl, optionally substituted fused arylheterocycll, optionally substituted fused arylheterocyclenyl, optionally substituted fused heteroarylcy cloalkyl, optionally substituted fused heteroarylcy cloalkenyl, optionally substituted fused heteroarylheterocycll or optionally substituted fused heteroarylheterocyclenyl;

- 5 R₃ and R_{3a} taken together form O or S; and
R₄ and R_{4a} taken together form O or S;
R₁, R_{1a}, R₂, R_{2a}, are independently selected from hydrogen, carboxy, alkoxy carbonyl,
Y₁Y₂NC(O)-, wherein Y₁ and Y₂ are defined as in claim 1, optionally substituted alkyl, optionally
substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl and optionally
10 substituted heteroaralkyl; or R₁ and R₂ together with the carbon atoms through which R₁ and R₂
are linked form a cycloalkyl group, cycloalkenyl group, heterocycll group, or heterocyclenyl
group; or R_{1a} and R_{2a} are absent and R₁ and R₂ together with the carbon atoms through which
R₁ and R₂ are linked form an aryl or heteroaryl group; or one or more of the pairs R₁ and R_{1a}
taken together with the carbon atom through which they are linked form a 3 to 7 membered
15 cycloalkyl or cycloalkenyl group; or R₂ and R_{2a} taken together with the carbon atom through
which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group;
and m and n are each 1.

9. A compound according to claim 6 wherein

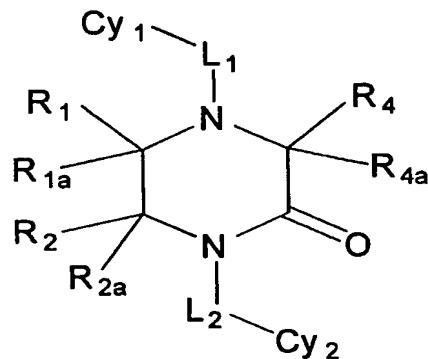
- 20 A is N;
G₁ is L₁-Cy₁ and G₂ is L₂-Cy₂;
L₁ and L₂ are independently absent, methylene, ethylene or alkylene;
Cy₁ is thiophen-isoxazol, thiophen-pyrazol, thiophen-oxadiazol, thiophen-thiadiazol, thiophen-
triazol, thiophen-pyridin or phenyl-triazol;
25 Cy₂ is amino-quinazolin or pyrrolo-pyridin;
R₃ and R_{3a} taken together form O or S;
R₁, R_{1a}, R₂, R_{2a}, R₄ and R_{4a} are independently selected from hydrogen, carboxy, alkoxy carbonyl,
Y₁Y₂NC(O)-, wherein Y₁ and Y₂ are defined as in claim 1, optionally substituted alkyl, optionally
substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl and optionally
30 substituted heteroaralkyl; or R₁ and R₂ together with the carbon atoms through which R₁ and R₂
are linked form a cycloalkyl group, cycloalkenyl group, heterocycll group, or heterocyclenyl
group; or R_{1a} and R_{2a} are absent and R₁ and R₂ together with the carbon atoms through which
R₁ and R₂ are linked form an aryl or heteroaryl group; or one or more of the pairs R₁ and R_{1a}
taken together with the carbon atom through which they are linked form a 3 to 7 membered
35 cycloalkyl or cycloalkenyl group; or R₂ and R_{2a} taken together with the carbon atom through

which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; or R₄ and R_{4a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; and m and n are each 1.

5 10. A compound according to claims 1, 6, 7, 8 and 9 wherein Cy₂ is optionally substituted with one or more groups selected from amino, carbamoyl, acylamino, heteroaryl, heterocyclenyl, heterocyclyl, alkyl, amidino, alkyloxycarbonyl, hydroxy, alkoxy, aryl, isourea, guanidino, acylhydrazino, acyl, cyano, carboxy, sulfamoyl, or halo.

10 11. A compound according to claims 1, 6, 7, 8 and 9 wherein Cy₁ is optionally substituted with one or more groups selected from amino, halo, hydroxyl, aryl, heteroaryl, amidino, alkyl, acylamino, carbamoyl, cyano, alkoxy, nitro, carbamate, sulfamyl.

12. A compound according to claim 1 having the formula II

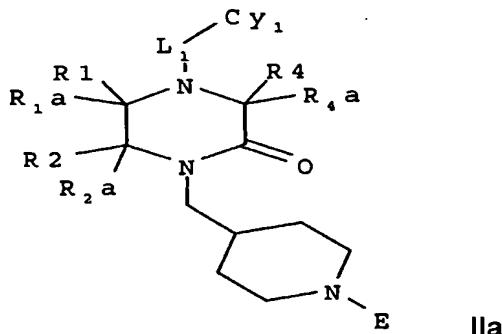


15

or a pharmaceutically acceptable salt thereof, pharmaceutically acceptable prodrug thereof, an N-oxide thereof, a hydrate thereof or a solvate thereof,
wherein R₁, R_{1a}, R₂, R_{2a}, R₄, R_{4a}, Cy₁, Cy₂, L₁, and L₂ are as defined in formula I.

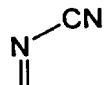
20 13. A compound according to claim 12 wherein Cy₂ contains at least one nitrogen atom and when Cy₂ is optionally substituted aryl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, optionally substituted fused phenylcycloalkyl or optionally substituted fused phenylcycloalkenyl, then said nitrogen atom is a basic nitrogen atom.

25 14. A compound according to claim 1 having the formula IIa

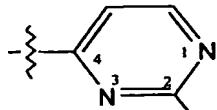


or a pharmaceutically acceptable salt thereof, pharmaceutically acceptable prodrug thereof, an N-oxide thereof, a hydrate thereof or a solvate thereof,
wherein

- 5 R₁, R_{1a}, R₂, R_{2a}, R₄ and R_{4a} are independently selected from hydrogen, alkyl, alkoxyalkyl, aminoalkyl, aminoalkylalkoxy, carboxy, alkoxycarbonyl, Y₁Y₂NC(O)-, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl and optionally substituted heteroaralkyl, or R₁ and R_{1a}, R₂ and R_{2a} or R₄ and R_{4a} taken together form O or S; or R₁ and R₂ together with the carbon atoms through which R₁ and R₂ are linked form a cycloalkyl group, cycloalkenyl group, heterocyclil group, or heterocyclenyl group; or R_{1a} and R_{2a} are absent and R₁ and R₂ together with the carbon atoms through which R₁ and R₂ are linked form an aryl or heteroaryl group; or one or more of the pairs R₁ and R_{1a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; or R₂ and R_{2a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; or R₄ and R_{4a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group;
- 10 Cy₁ are independently selected from isoxazolyl, thiophenyl, thiophenyl-isoxazolyl, optionally substituted by halogen, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, optionally substituted heterocyclil, optionally substituted heterocyclenyl, optionally substituted fused arylcycloalkyl, optionally substituted fused arylcycloalkenyl, optionally substituted fused arylheterocyclil, optionally substituted fused arylheterocyclenyl, optionally substituted fused heteroarylcyloalkyl, optionally substituted fused heteroarylcyloalkenyl, optionally substituted fused heteroarylheterocyclil and optionally substituted fused heteroarylheterocyclenyl;
- 15
- 20
- 25 L, is absent, methylene, O, NR₅, -S(O)p-, -S(O)pNR₅-, -C(X)Y- or -L₃-Q-L₄-Q'-L₅-, -C(O)Y-C(X)Y-, -C(C)NR₅-S(O)p-, or -C(O)C(O)NR₅S(O)p-; p is 1 or 2, and



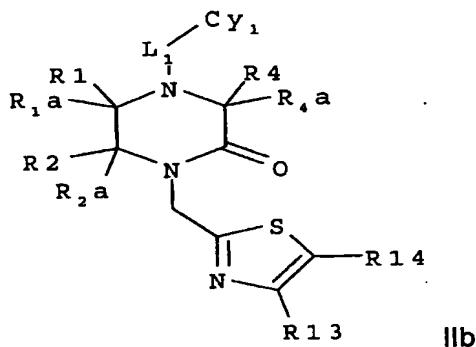
E is alkoxy carbonyl, carbamoyl, acyl, alkyl, pyridinyl, amidino; $\text{--NR}_{12}\text{R}_{12}'$ wherein R₁₂ and R_{12'} are independently selected from hydrogen or optionally substituted lower alkyl; or



R₁₅ wherein R₁₅ is selected from halogen, alkoxy, alkylthio and Y₁Y₂N-, wherein Y₁ and Y₂ are independently, hydrogen, alkyl and aralkyl.

5

15. A compound according to claim 1 having the formula IIb



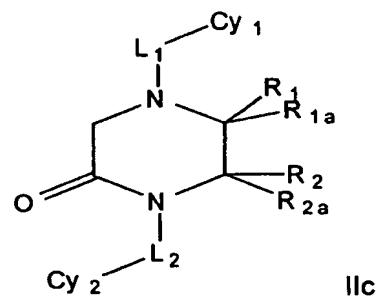
or a pharmaceutically acceptable salt thereof, pharmaceutically acceptable prodrug thereof, an N-oxide thereof, a hydrate thereof or a solvate thereof, wherein

- 10 R₁, R_{1a}, R₂, R_{2a}, R₄ and R_{4a} are independently selected from hydrogen, carboxy, alkoxy carbonyl, Y₁Y₂NC(O)-, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl and optionally substituted heteroaralkyl, or R₁ and R_{1a}, R₂ and R_{2a} or R₄ and R_{4a} taken together form O or S; or R₁ and R₂ together with the carbon atoms through which R₁ and R₂ are linked form a cycloalkyl group, cycloalkenyl group, heterocyclyl group, or heterocyclenyl group; or R_{1a} and R_{2a} are absent and R₁ and R₂ together with the carbon atoms through which R₁ and R₂ are linked form an aryl or heteroaryl group; or one or more of the pairs R₁ and R_{1a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; or R₂ and R_{2a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; or R₄ and R_{4a} taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group;
- 15 Cy, are independently selected from optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, optionally substituted heterocyclyl, optionally substituted heterocyclenyl, optionally substituted fused
- 20

aryl cycloalkyl, optionally substituted fused arylcycloalkenyl, optionally substituted fused arylheterocyclyl, optionally substituted fused arylheterocyclenyl, optionally substituted fused heteroaryl cycloalkyl, optionally substituted fused heteroaryl cycloalkenyl, optionally substituted fused heteroaryl heterocyclyl and optionally substituted fused heteroaryl heterocyclenyl;

- 5 L₁ is absent, O, NR₅, -S(O)p-, -S(O)pNR₅-, -C(X)Y- or -L₃-Q-L₄-Q'-L₅-, -C(O)Y-C(X)Y-, -C(X)YC(O)-,
 -C(C)NR₅-S(O)p-, or -C(O)C(O)NR₅S(O)p-; and
 R₁₃ and R₁₄ are independently hydrogen, lower alkyl, aryl, heteroaryl, amino, acylaminoalkyl,
 10 alkoxy carbonylalkyl, carbamoylalkyl or alkoxyalkyl; or R₁₃ and R₁₄ together with the carbon atoms through which R₁₃ and R₁₄ are linked form a cycloalkyl group, cycloalkenyl group, heterocyclyl group, heterocyclenyl group, aryl group or heteroaryl group.

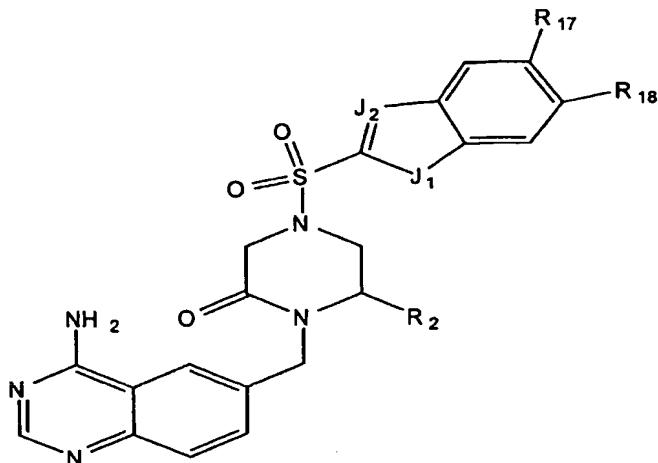
16. A compound according to claim 1 having the formula IIc



- 15 or a pharmaceutically acceptable salt thereof, pharmaceutically acceptable prodrug thereof, an N-oxide thereof, a hydrate thereof or a solvate thereof, wherein:
 Cy₁ is thiaheteroaryl, benzothiophenyl or azaheteroaryl, which are unsubstituted or substituted by halogen,
 L₁ is -S(O)₂-, -S(O)₂-alkylene-, -S(O)₂-alkyenylene- or -S(O)₂-alkynylene-;
 20 R₁, R_{1a}, R₂, R_{2a} are independently hydrogen, alkyl, alkoxyalkyl, aminoalkyl, aminoalkylalkoxy, carboxyl, alkoxy carbonyl, or carbamoyl; L₂ is methylene; and
 Cy₂ is azaheteroaryl, azaheterocyclyl, azaheterocyclenyl, fused azaheteroaryl cycloalkyl, fused azaheteroaryl cycloalkenyl, fused heteroarylazacycloalkyl or fused heteroarylazacycloalkenyl.

25

17. A compound according to claim 1 having the formula IId



IIId

wherein R₁₇ and R₁₈ are independently hydrogen or halogen;

J₁ is S or NH;

J₂ is CH or N; and

5 R₂ is hydrogen, alkyl, carboxyl, alkoxy carbonyl, or carbamoyl.

18. A compound according to claim 12 wherein L₁ and L₂ independently are methylene, ethylene, propylene or butenylene; R₁, R_{1a}, R₂, R_{2a} are independently hydrogen, alkyl, alkoxyalkyl, aminoalkyl, aminoalkylalkoxy, carboxyl, alkoxy carbonyl, or carbamoyl; Cy₁ is heteroaryl, thiaheteroaryl, biheteroaryl, thiophenyl, isoxazolyl, isoxazolyl-thiophenyl or azaheteroaryl, which are unsubstituted or substituted by halogen; Cy₂ is azaheteroaryl, quinazolin, amino-quinazolin or 4-aminoquinazolin.

19. A compound according to claim 1 selected from the group consisting of
 15 5-Chloro-2-chlorosulfonyl-indole-1-carboxylic acid tert-butyl ester,
 6-Chloro-2-chlorosulfonyl-indole-1-carboxylic acid tert-butyl ester,
 3-(5-Chloro-thiophen-2-yl)-3-oxo-propionic acid tert-butyl ester,
 Methyl-6-Chloro-benzofurancarboxylate,
 2-Cyclopentyl-3-oxo-piperazine-1-carboxylic acid benzyl ester,
 20 (+/-)-cis-4-benzyloxycarbonyl-decahydroquinoxalin-2-one,
 5-Methyl-3-oxo-2-propyl-piperazine-1-carboxylic acid tert-butyl ester,
 4-[4-Amino-quinazolin-7-ylmethyl]-5-methyl-3-oxo-2-propyl-piperazine,
 (R)-3-Methoxymethyl-5-oxo-piperazine-1-carboxylic acid allyl ester,
 6-Isopropyl-piperazin-2-one,
 25 9-(4-Aminoquinazolin-7-ylmethyl)-6,9-diaza-spiro[4,5]decan-10-one,
 (+/-)-cis-4-benzyloxycarbonyl-decahydroquinoxalin-2-one,

- (+/-)-cis-1-(4-Amino-quinazolin-7-ylmethyl)-decahydroquinoxalin-2-one,,
(+/-)-trans-4-benzyloxycarbonyl-decahydroquinoxalin-2-one,
(+/-)-trans-1-(4-Amino-quinazolin-7-ylmethyl)-decahydroquinoxalin-2-one,
4-Benzylloxycarbonyl-3-(S)-(2-methylsulfanyl-ethyl)-piperazin-2-one,
5 1-(4-Amino-quinazolin-7-ylmethyl)-3-(S)-(2-methylsulfanyl-ethyl)-piperazin-2-one,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-isopropyl-piperazin-2-one,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-isopropyl-piperazin-2-one,
10 (R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzimidazole-2-sulfonyl)-6-isopropyl-piperazin-2-one,
(R/S)1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzimidazole-2-sulfonyl)-6-oxo-piperazine-2-carboxylic acid ethyl ester,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-methoxymethyl-
15 piperazin-2-one,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzimidazole-2-sulfonyl)-6-isopropyl-piperazin-2-one,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-isopropyl-piperazin-2-one,
20 (4aRS,8aSR)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-octahydro-quinoxalin-2-one,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-piperazin-2-one
25 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-piperazin-2-one,
[1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-piperazin-2-(S)-yl]-acetic acid,
[1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-piperazin-2-(S)-yl]-acetic acid tert-butyl ester,
30 (R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzimidazole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one,
(+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-piperazine-2-carboxylic acid (2-pyrrolidin-1-yl-ethyl)-amide,
(+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-
35 piperazine-2-carboxylic acid 2-pyrrolidin-1-yl-ethyl ester,

- (S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-methoxymethyl-piperazin-2-one,
(s)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-methoxymethyl-piperazin-2-one,
5 4-(4-Amino-quinazolin-7-ylmethyl)-(2S)-methoxymethyl-3-oxo-piperazine-1-sulfonic acid (4-chloro-phenyl)-amide,
1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-piperazine-2-carboxylic acid 2-imidazol-1-yl-ethyl ester,
(+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-
10 piperazine-2-carboxylic acid 2-morpholin-4-yl-ethyl ester,
(+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-piperazine-2-carboxylic acid pyrrolidin-2-ylmethyl ester,
(+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-
15 piperazine-2-carboxylic acid 2-methylamino-ethyl ester,
(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-methyl-
piperazin-2-one,
(S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-methyl-
20 piperazin-2-one,
(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-isopropyl-
piperazin-2-one,
(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-isobutyl-
piperazin-2-one,
(S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-isobutyl-
25 piperazin-2-one,
(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-methyl-piperazin-2-one,
(S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-methyl-piperazin-2-
one,
(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-isobutyl-piperazin-2-
30 one,
(S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-isobutyl-piperazin-2-one,
(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-methyl-piperazin-2-one,

- (S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-methyl-piperazin-2-one,
- (R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-isobutyl-piperazin-2-one,
- 5 (S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-isobutyl-piperazin-2-one,
- (R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-methyl-piperazin-2-one,
- (S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-methyl-10 piperazin-2-one,
- (R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-isobutyl-piperazin-2-one,
- (S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-isobutyl-piperazin-2-one,
- 15 1-(4-Amino-quinazolin-7-ylmethyl)-4-(2-chloro-imidazo[1,2-a]pyridin-7-ylmethyl)-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-3(S)-(2-methylsulfanyl-ethyl)-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-(S)-6-methyl-(S)-3-propyl-20 piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-thieno[2,3-b]pyridin-2-ylmethyl)-(S)-3-propyl-piperazin-2-one,
- 2-[4-(4-Amino-quinazolin-7-ylmethyl)-3-oxo(S)--2-propyl-piperazin-1-ylmethyl]-5-chloro-indole-1-carboxylic acid tert-butyl ester,
- 25 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-(S)-3-propyl-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzofuran-2-ylmethyl)-3(S)-propyl-piperazin-2-one,
- 9-(4-Amino-quinazolin-7-ylmethyl)-6-[3-(5-chloro-thiophen-2-yl)-allyl]-6,9-diaza-spiro[4.5]decan-30 10-one,
- (4aRS,8aSR)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-octahydro-quinoxalin-2-one,
- (4aRS,8aSR)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-octahydro-quinoxalin-2-one,

- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-3(S)-isobutyl-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(7-chloro-isoquinolin-3-ylmethyl)-3(S)-isobutyl-piperazin-2-one,
- 5 3-[4-(4-Amino-quinazolin-7-ylmethyl)-(2S)-methoxymethyl-3-oxo-piperazin-1-ylmethyl]-benzamidine,
- (4aRS,8aRS)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-octahydro-quinoxalin-2-one,
- (4aRS,8aRS)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-octahydro-10 quinoxalin-2-one,
- (4aRS,8aRS)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(7-chloro-isoquinolin-3-ylmethyl)-octahydro-quinoxalin-2-one,
- 15 2-[4-(4-Amino-quinazolin-7-ylmethyl)-1-(7-chloro-isoquinolin-3-ylmethyl)-3-oxo-piperazin-2-(S)-yl]-N-methyl-acetamide,
- 2-[4-(4-Amino-quinazolin-7-ylmethyl)-1-[3-(5-chloro-thiophen-2-yl)-allyl]-3-oxo-piperazin-2-(S)-yl]-acetamide,
- 2-[4-(4-Amino-quinazolin-7-ylmethyl)-1-[3-(5-chloro-thiophen-2-yl)-allyl]-3-oxo-piperazin-2-(S)-20 yl]-N-methyl-acetamide,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-3(S)-isobutyl-piperazin-2-one,
- (S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-6-methoxymethyl-piperazin-2-one,
- 25 1-(4-Amino-quinazolin-7-ylmethyl)-4-(4-amino-thieno[3,2-d]pyrimidin-6-ylmethyl)-3(S)-methoxymethyl-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-3-(S)-methoxymethyl-4-(4-pyrimidin-4-yl-benzyl)-piperazin-2-one,
- 4-[4-(2-Amino-pyrimidin-4-yl)-benzyl]-1-(4-amino-quinazolin-7-ylmethyl)-3-(S)-methoxymethyl-30 piperazin-2-one,
- 3-Amino-5-[4-(4-amino-quinazolin-7-ylmethyl)-2(S)-methoxymethyl-3-oxo-piperazin-1-ylmethyl]-thiophene-2-carbonitrile,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-3(S)-(2-methoxy-ethyl)-piperazin-2-one,

- 3-[3-[4-(4-Amino-quinazolin-7-ylmethyl)-(2S)-methoxymethyl-3-oxo-piperazin-1-yl]-3-oxo-propenyl]-benzonitrile,
- 3-[3-[4-(4-Amino-quinazolin-7-ylmethyl)-(2S)-methoxymethyl-3-oxo-piperazin-1-yl]-3-oxo-propenyl]-benzamidine,
- 5 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(4-hydroxy-phenyl)-acryloyl]-(3S)-propyl-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(3-chloro-phenyl)-acryloyl]-(3S)-propyl-piperazin-2-one,
- 1-[4-(4-Aminoquinazoline-7-ylmethyl)-3-oxo-2-propyl-piperazine-1-yl]-3-(5-chloro-thiophen-2-yl)-propane-1,3,dione,
- 10 1-[4-(4-Aminoquinazoline-7-ylmethyl)-3-oxo-2-propyl-piperazine-1-yl]-3-(5-chloro-thiophen-2-yl)-2-fluoro-propane-1,3,dione,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl-3-(S)-(2-methylsulfanyl-ethyl)-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl-3-(S)-(2-methanesulfinyl-ethyl)-piperazin-2-one,
- 15 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl-3-(S)-(2-methanesulfonyl-ethyl)-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-3-(S)-dimethylaminomethyl-piperazin-2-one,
- 20 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-benzo-[b]thiophene-2-carbonyl)-(3S)-methoxymethyl-piperazin-2-one,
- 1-(4-Amino-2-methyl-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-3-(S)-propyl-piperazin-2-one,
- 25 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzofuran-2-carbonyl)-(S)-6-methyl-(S)-3-propyl-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzofuran-2-carbonyl)-3(S)-(2-methylsulfanyl-ethyl)-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chlorobenzo[b]-thiophene-2-carbonyl)-(S)-3-propyl-piperazin-2-one
- 30 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-benzo[b]-thiophene-2-carbonyl)-(S)-6-methyl-(S)-3-propyl-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]-thiophene-2-carbonyl)-(S)-6-methyl-(S)-3-propyl-piperazin-2-one,
- 35 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(4-chloro-thiophen-2-yl)-acryloyl]-(S)-6-methyl-(S)-3-propyl-piperazin-2-one ,

- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-3-yloxy)-acetyl]-(S)-6-methyl-(S)-3-propyl-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-acryloyl]-(S)-6-methyl-(S)-3-propyl-piperazin-2-one,
- 5 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-(S)-6-methyl-(S)-3-propyl-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-benzofuran-2-carbonyl)-3(S)-propyl-piperazin-2-one,
- 10 3-{2-[4-(4-Amino-quinazolin-7-ylmethyl)-2-(S)-methoxymethyl-3-oxo-piperazin-1-yl]-2-oxo-ethyl}-benzamidine,
- 3-{2-[4-(4-Amino-quinazolin-7-ylmethyl)-3-oxo-piperazin-1-yl]-2-oxo-ethyl}-benzamidin,
- 4-[3-(4-Amino-cyclohexyl)-acryloyl]-1-(4-amino-quinazolin-7-ylmethyl)-(3S)-propyl-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-carbonyl)-(S)-3-propyl-
- 15 piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzofuran-2-carbonyl)-3(S)-propyl-piperazin-2-one trifluoroacetate,
- 1-[4-(4-Amino-quinazolin-7-ylmethyl)-3-oxo-2-propyl-piperazin-1-yl]-3-(3-chloro-phenyl)-propane-1,3-dione,
- 20 4-[(5-Amino-pyridin-2-yloxy)-acetyl]-1-(4-amino-quinazolin-7-ylmethyl)-(S)-3-methoxymethyl-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-3-(R)-methoxymethyl-piperazin-2-one,
- 3-{3-[4-(4-Amino-quinazolin-7-ylmethyl)-3-oxo-piperazin-1-yl]-3-oxo-propyl}-benzamidine,
- 25 3-{3-[4-(4-Amino-quinazolin-7-ylmethyl)-(2S)-methoxymethyl-3-oxo-piperazin-1-yl]-3-oxo-propyl}-benzamidine,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(4-imidazol-1-yl-benzoyl)-3(S)-propyl-piperazin-2-one,
- (6-{2-[4-(4-Amino-quinazolin-7-ylmethyl)-(S)-2-methoxymethyl-3-oxo-piperazin-1-yl]-2-oxo-ethoxy}-pyridin-3-yl)-carbamic acid tert-butyl ester,
- 30 (4aRS,8aSR)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-octahydro-quinoxalin-2-one,
- (4aRS,8aRS)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-octahydro-quinoxalin-2-one,
- (4aRS,8aRS)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-acryloyl]-
- 35 octahydro-quinoxalin-2-one,

- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-6-oxo-1,6-dihydro-pyridin-3-yl)-acryloyl]-(S)-3-propyl-piperazin-2-one ,
1-[4-(4-Amino-quinazolin-7-ylmethyl)-3-oxo-2-propyl-piperazin-1-yl]-3-(4-hydroxy-phenyl)-propane-1,3-dione,
5 2-{4-(4-Amino-quinazolin-7-ylmethyl)-1-[3-(4-chloro-thiophen-2-yl)-acryloyl]-3-oxo-piperazin-2-(S)-yl}-acetamide,
2-{4-(4-Amino-quinazolin-7-ylmethyl)-1-[3-(5-chloro-thiophen-2-yl)-acryloyl]-3-oxo-piperazin-2-(S)-yl}-acetamide,
10 2-{4-(4-Amino-quinazolin-7-ylmethyl)-1-[(5-chloro-thiophen-2-yloxy)-acetyl]-3-oxo-piperazin-2-(S)-yl}-acetamide,
(4-(4-Amino-quinazolin-7-ylmethyl)-1-[(5-chloro-thiophen-2-yloxy)-acetyl]-3-oxo-piperazin-2-(S)-yl)-acetic acid methyl ester
2-{4-(4-Amino-quinazolin-7-ylmethyl)-1-[3-(4-chloro-thiophen-2-yl)-acryloyl]-3-oxo-piperazin-2-(S)-yl}-N-methyl-acetamide,
15 2-{4-(4-Amino-quinazolin-7-ylmethyl)-1-[(5-chloro-thiophen-2-yloxy)-acetyl]-3-oxo-piperazin-2-(S)-yl}-N-methyl-acetamide,
2-{4-(4-Amino-quinazolin-7-ylmethyl)-1-[3-(5-chloro-thiophen-2-yl)-acryloyl]-3-oxo-piperazin-2-(S)-yl}-N-methyl-acetamide,
4-{3-[4-(4-Amino-quinazolin-7-ylmethyl)-(S)-2-methoxymethyl-3-oxo-piperazin-1-yl]-3-oxo-
20 propenyl}-benzenesulfonamide,
N-(5-{3-[4-(4-Amino-quinazolin-7-ylmethyl)-2-(S)-methoxymethyl-3-oxo-piperazin-1-yl]-3-oxo-propyl}-pyridin-2-yl)-acetamide,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-amino-[1,3,4]thiadiazol-2-ylsulfanyl)-acetyl]-(S)-3-propyl-piperazin-2-one
25 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-amino-[1,3,4]thiadiazol-2-ylsulfanyl)-acetyl]-(S)-3-methoxymethyl-piperazin-2-one,
3-[4-(4-Amino-quinazolin-7-ylmethyl)-(2S)-methoxymethyl-3-oxo-piperazine-1-carbonyl]-benzamidine,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[(piperidin-3-yloxy)-acetyl]-piperazin-2-one,
30 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(3-chloro-4-hydroxy-phenyl)-(E)-acryloyl]-(3S)-methoxymethyl-piperazin-2-one,
(3S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-hydroxy-naphthalene-2-carbonyl)-3-propyl-piperazin-2-one,
(3S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-hydroxy-1H-indole-2-carbonyl)-3-propyl-piperazin-
35 2-one,

- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(3-hydroxy-phenoxy)-acetyl]-(3S)-methoxymethyl-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(4-hydroxy-phenyl)-acryloyl]-(R)-6-methyl-(S)-3-propyl-piperazin-2-one,
- 5 N-(5-{3-[4-(4-Amino-quinazolin-7-ylmethyl)-3-oxo-2-(S)-propyl-piperazin-1-yl]-3-oxo-propenyl}-pyridin-2-yl)-acetamide,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-(R)-6-methyl-(S)-3-propyl-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(3-chloro-phenoxy)-acetyl]-(R)-6-methyl-(S)-3-propyl-piperazin-2-one,
- 10 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-3,6-bis-methoxymethyl-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(3-chloro-phenyl)-acryloyl]-(R)-6-methyl-(S)-3-propyl-piperazin-2-one
- 15 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(4-chloro-thiophen-2-yl)-acryloyl]-(R)-6-methyl-(S)-3-propyl-piperazin-2-one,
- 4-[3-(6-Amino-pyridin-3-yl)-acryloyl]-1-(4-amino-quinazolin-7-ylmethyl)-(R)-6-methyl-(S)-3-propyl-piperazin-2-one,
- 2-[4-(4-Amino-quinazolin-7-ylmethyl)-3-oxo-(S)-2-propyl-piperazin-1-yl]-N-(5-chloro-thiophen-2-yl)-2-oxo-acetamide,
- 20 2-[4-(4-Amino-quinazolin-7-ylmethyl)-3-oxo-piperazin-1-yl]-N-(5-chloro-thiophen-2-yl)-2-oxo-acetamide,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-3-yl)-acryloyl]-(R)-6-methyl-(S)-3-propyl-piperazin-2-one,
- 25 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-acryloyl]-(R)-6-methyl-(S)-3-propyl-piperazin-2-one,
- 2-[4-(4-Amino-quinazolin-7-ylmethyl)-(S)-2-methoxymethyl-3-oxo-piperazin-1-yl]-N-(5-chloro-thiophen-2-yl)-2-oxo-acetamide,
- (S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-6-methoxymethyl-piperazin-2-one,
- 30 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(3,4-dihydroxy-phenyl)-(E)-acryloyl]-(3S)-methoxymethyl-piperazin-2-one,
- 4-[3-(6-Amino-pyridin-3-yl)-propionyl]-1-(4-amino-quinazolin-7-ylmethyl)-3-(S)-methoxymethyl-piperazin-2-one,

- 4-[3-(6-Amino-pyridin-3-yl)-propionyl]-1-(4-amino-quinazolin-7-ylmethyl)-3-(S)-propyl-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(4-chloro-thiophen-2-yl)-acryloyl]-3-(S)-hydroxymethyl-piperazin-2-one,
- 5 N-(5-{3-[4-(4-Amino-quinazolin-7-ylmethyl)-2-(S)-butyl-3-oxo-piperazin-1-yl]-3-oxo-propenyl}-6-methyl-pyridin-2-yl)-acetamide,
N-(5-{3-[4-(4-Amino-quinazolin-7-ylmethyl)-2-(S)-butyl-3-oxo-piperazin-1-yl]-3-oxo-propenyl}-pyridin-2-yl)-acetamide,
4-[3-(6-Amino-2-methyl-pyridin-3-yl)-acryloyl]-1-(4-amino-quinazolin-7-ylmethyl)-3-(S)-butyl-
10 piperazin-2-one
1-[4-(4-Aminoquinazoline-7-ylmethyl)-3-oxo-piperazin-1-yl]-3-(5-chloro-thiophen-2-yl)-propane-1,3-dione,
4-[3-(3-Amino-4-chloro-phenyl)-acryloyl]-1-(4-amino-quinazolin-7-ylmethyl)-(3S)-methoxymethyl-piperazin-2-one,
15 4-[3-(3-Amino-5-chloro-phenyl)-acryloyl]-1-(4-amino-quinazolin-7-ylmethyl)-(3S)-methoxymethyl-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-3-yloxy)-acetyl]-(R)-6-methyl-(S)-3-propyl-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[(4-chloro-thiophen-2-yloxy)-acetyl]-(R)-6-methyl-(S)-3-
20 propyl-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[(4-chloro-benzenesulfinyl)-acetyl]-(3S)-propyl-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[(4-hydroxy-phenoxy)-acetyl]-(3S)-methoxymethyl-piperazin-2-one,
25 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(4-chloro-phenylsulfanyl)-acetyl]-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[(3-chloro-benzenesulfinyl)-acetyl]-(3S)-methoxymethyl-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(3-hydroxy-phenyl)-(E)-acryloyl]-3-(S)-methoxymethyl-piperazin-2-one
30 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(4-hydroxy-phenyl)-(E)-acryloyl]-3-(S)-methoxymethyl-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[(4-chloro-thiophen-2-yloxy)-acetyl]-3-(S)-hydroxymethyl-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-acryloyl]-3-(S)-hydroxymethyl-
35 piperazin-2-one,

- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-3,6-bis-methoxymethyl-piperazin-2-one,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-6-methoxymethyl-piperazin-2-one,
- 5 4-[(6-Amino-pyrimidin-4-yloxy)-acetyl]-1-(4-amino-quinazolin-7-ylmethyl)-3(S)-methoxymethyl-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[(3-chloro-benzenesulfonyl)-acetyl]-piperazin-2-one,
1-[4-(4-Amino-quinazolin-7-ylmethyl)-3-oxo-piperazin-1-yl]-3-(4-chloro-phenyl)-propane-1,3-dione
- 10 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(3-chloro-phenylsulfanyl)-acetyl]-piperazin-2-one,
4-[3-(6-Amino-2-methyl-pyridin-3-yl)-acryloyl]-1-(4-amino-quinazolin-7-ylmethyl)-3-(S)-propyl-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(3-chloro-phenyl)-3-hydroxy-acryloyl]-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(4-dimethylamino-phenyl)-acryloyl]-(3S)-propyl-
- 15 piperazin-2-one,
3-(S)-6-(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-6-hydroxymethyl-3-methoxymethyl-piperazin-2-one,
4-[3-(6-Amino-pyridin-3-yl)-acryloyl]-1-(4-amino-quinazolin-7-ylmethyl)-3(S)-isobutyl-piperazin-2-one,
- 20 4-[3-(2-Amino-pyrimidin-5-yl)-acryloyl]-1-(4-amino-quinazolin-7-ylmethyl)-3(S)-propyl-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(3-hydroxy-phenyl)-acryloyl]-(3S)-propyl-piperazin-2-one,
4-[3-(3-Amino-phenyl)-acryloyl]-1-(4-amino-quinazolin-7-ylmethyl)-(3S)-methoxymethyl-
- 25 piperazin-2-one,
4-[3-(4-Amino-3-chloro-phenyl)-acryloyl]-1-(4-amino-quinazolin-7-ylmethyl)-(3S)-methoxymethyl-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[(6-chloro-pyrazin-2-yloxy)-acetyl]-(S)-3-methoxymethyl-piperazin-2-one,
- 30 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(6-chloro-pyrazin-2-yloxy)-acetyl]-(S)-3-propyl-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-acryloyl]-3(S)-isobutyl-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-3(S)-isobutyl-
- 35 piperazin-2-one,

- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(2-amino-thiazol-4-yl)-acetyl]-(S)-3-propyl-piperazin-2-one,
- (+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-6-oxo-piperazine-2-carboxylic acid ethyl ester,
- 5 4-[3-(4-Amino-phenyl)-acryloyl]-1-(4-amino-quinazolin-7-ylmethyl)-(3S)-propyl-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(3,4-dichloro-thiophen-2-yloxy)-acetyl]-(S)-3-propyl-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[(3,4-dichloro-thiophen-2-yloxy)-acetyl]-(S)-3-methoxymethyl-piperazin-2-one,
- 10 4-[3-(6-Amino-pyridin-3-yl)-acryloyl]-1-(4-amino-quinazolin-7-ylmethyl)-3(S)-(2-methoxy-ethyl)-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(4-chloro-thiophen-2-yl)-acryloyl]-3(S)-(2-methoxy-ethyl)-piperazin-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-acryloyl]-3(S)-(2-methoxy-ethyl)-piperazin-2-one,
- 15 4-(4-Amino-quinazolin-7-ylmethyl)-(S)-5-methyl-3-oxo-(S)-2-propyl-piperazine-1-carboxylic acid (4-chloro-phenyl)-amide,
- 4-(4-Amino-quinazolin-7-ylmethyl)-(S)-5-methyl-3-oxo-(S)-2-propyl-piperazine-1-carboxylic acid (5-chloro-thiophen-2-yl)amide,
- 20 4-(4-Amino-quinazolin-7-ylmethyl)-2(S)-isobutyl-3-oxo-piperazine-1-carboxylic acid (4-chloro-phenyl)-amide,
- 4-(4-Amino-quinazolin-7-ylmethyl)-2-(S)-hydroxymethyl-3-oxo-piperazine-1-carboxylic acid (4-chloro-phenyl)-amide,
- (2S)-4-(4-Amino-quinazolin-7-ylmethyl)-3-oxo-2-propyl-piperazine-1-carboxylic acid (5-bromo-25 thiazol-2-yl)-amide,
- (2S)-4-(4-Amino-quinazolin-7-ylmethyl)-2-methoxymethyl-3-oxo-piperazine-1-carboxylic acid (5-chloro-thiazol-2-yl)-amide,
- (2S)-4-(4-Amino-quinazolin-7-ylmethyl)-3-oxo-2-propyl-piperazine-1-carboxylic acid (5-chloro-thiazol-2-yl)-amide,
- 30 4-(4-Amino-quinazolin-7-ylmethyl)-(2S)-methoxymethyl-3-oxo-piperazine-1-carboxylic acid (4-hydroxy-phenyl)-amide,
- 4-(4-Amino-quinazolin-7-ylmethyl)-2-(S)-methylcarbamoylmethyl-3-oxo-piperazine-1-carboxylic acid (4-chloro-phenyl)-amide,
- 4-(4-Amino-quinazolin-7-ylmethyl)-2-(S)-carbamoylmethyl-3-oxo-piperazine-1-carboxylic acid 35 (4-chloro-phenyl)-amide,

- (4aRS,8aRS)-4-(4-Amino-quinazolin-7-ylmethyl)-3-oxo-octahydro-quinoxaline-1-carboxylic acid (4-chloro-phenyl)-amide,
- 4-(4-Amino-quinazolin-7-ylmethyl)-2(S)-(2-methylsulfanyl-ethyl)-3-oxo-piperazine-1-carboxylic acid (4-chloro-phenyl)-amide,
- 5 4-(4-Amino-quinazolin-7-ylmethyl)-(2S)-methoxymethyl-3-oxo-piperazine-1-carboxylic acid (5-chloro-furan-2-yl)-amide,
- (2S)-4-(4-Amino-quinazolin-7-ylmethyl)-2-methoxymethyl-3-oxo-piperazine-1-carboxylic acid (5-bromo-thiazol-2-yl)-amide,
- N-[4-(4-Amino-quinazolin-7-ylmethyl)-3-oxo-(S)-2-propyl-piperazine-1-carbonyl]-4-chloro-
10 benzenesulfonamide,
- 1-(S)-4-(4-Amino-quinolin-7-ylmethyl)-3-oxo-2-propyl-piperazine-1-carboxylic acid (4-chloro-phenyl)-amide,
- 1-(S)-(4-Amino-quinolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-3-propyl-piperazin-2-one,
- 15 1-(S)-(4-Amino-quinolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-4-oxy-3-propyl-piperazin-2-one,
- 1-(S)-4-(4-Amino-quinolin-7-ylmethyl)-2-methoxymethyl-3-oxo-2-piperazine-1-carboxylic acid (4-chloro-phenyl)-amide,
- (S)-4-(4-Aminoquinolin-7-ylmethyl)-2-methoxymethyl-3-oxo-2-piperazine-1-carboxylic acid (5-chlorothiophen-2-yl)-amide,
- 20 1-(S)-4-(4-Amino-quinolin-7-ylmethyl)-2-methyl-3-oxo-2-piperazine-1-carboxylic acid phenylamide,
- 1-(S)-4-(4-Amino-quinolin-7-ylmethyl)-2-methyl-3-oxo-2-piperazine-1-carboxylic acid (4-chloro-phenyl)-amide,
- 25 1-(S)-4-(4-Amino-cinnolin-7-ylmethyl)-2-methyl-3-oxo-piperazine-1-carboxylic acid (4-chloro-phenyl)-amide,
- 1-(S)-(4-Amino-cinnolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-acryloyl]-3-methyl-piperazin-2-one,
- 1-(4-Amino-cinnolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-3-methyl-piperazin-2-one,
- 30 4-[2-(5-Chloro-thiophen-2-yl)-ethenesulfonyl]-6-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazine-2-(+)-carboxylic acid methyl ester,
- 4-[2-(5-Chloro-thiophen-2-yl)-ethenesulfonyl]-6-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazine-2(-)-carboxylic acid methyl ester,
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-
35 piperazine-2-(+)-carboxylic acid amide,

- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazine-2-
(-)-carboxylic acid amide,
- 4-[2-(5-Chloro-thiophen-2-yl)-ethenesulfonyl]-6-methoxymethyl-1-(1H-pyrrolo[3,2-c]pyridin-2-
5 ylmethyl)-piperazin-2-one,
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-6-methoxymethyl-1-(1H-pyrrolo[3,2-c]pyridin-2-
ylmethyl)-piperazin-2-one,
- 4-[2-(5-Chloro-thiophen-2-yl)-ethenesulfonyl]-6-hydroxymethyl-1-(1-methyl-1H-pyrrolo[3,2-
c]pyridin-2-ylmethyl)-piperazin-2-one,
- 10 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-6-hydroxymethyl-1-(1-methyl-1H-pyrrolo[3,2-
c]pyridin-2-ylmethyl)-piperazin-2-one,
- 4-(5-Chloro-1H-indole-2-sulfonyl)-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 4-(5-Chloro-1H-indole-2-sulfonyl)-6-methoxymethyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-
piperazin-2-one,
- 15 4-(7-Methoxy-naphthalene-2-sulfonyl)-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 4-(Benzo[b]thiophene-2-sulfonyl)-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 4-[4-(5-Chloro-thiophen-2-yl)-benzyl]-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 4-[3-(5-Chloro-thiophen-2-yl)-benzyl]-3-(S)-propyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-
piperazin-2-one,
- 20 4-[3-(5-Chloro-thiophen-2-yl)-benzyl]-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 4-[5-(5-Chloro-thiophen-2-yl)-pyridin-2-ylmethyl]-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-
piperazin-2-one,
- 4-[5-(5-Chloro-thiophen-2-yl)-pyridin-2-ylmethyl]-3-(S)-propyl-1-(1H-pyrrolo[3,2-c]pyridin-2-
ylmethyl)-piperazin-2-one,
- 25 4-[5-(5-Chloro-thiophen-2-yl)-pyridin-2-ylmethyl]-6-methoxymethyl-1-(1H-pyrrolo[3,2-c]pyridin-
2-ylmethyl)-piperazin-2-one,
- 4-[2-(4-Chloro-phenyl)-1H-indol-3-ylmethyl]-3-(S)-propyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-
piperazin-2-one,
- 4-[6-(5-Chloro-thiophen-2-yl)-pyridin-2-ylmethyl]-6-methoxymethyl-1-(1H-pyrrolo[3,2-c]pyridin-
30 2-ylmethyl)-piperazin-2-one,
- 4-[4-(5-Chloro-thiophen-2-yl)-benzyl]-6-methoxymethyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-
piperazin-2-one,
- 4-[6-(5-Chloro-thiophen-2-yl)-pyridin-2-ylmethyl]-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-
piperazin-2-one,

- 4-(5-Chloro-[2,3']bithiophenyl-5'-ylmethyl)-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 4-(5'-Chloro-[2,2']bithiophenyl-5-ylmethyl)-6-methoxymethyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 5 4-[2,2']Bithiophenyl-5-ylmethyl-6-methoxymethyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 4-(5-Chloro-[2,3']bithiophenyl-5'-ylmethyl)-3-(S)-propyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 10 4-[6-(5-Chloro-thiophen-2-yl)-pyridin-2-ylmethyl]-3-(S)-propyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 4-[3-(5-Chloro-thiophen-2-yl)-4-fluoro-benzyl]-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 4-[5-(3-Chloro-phenyl)-furan-2-ylmethyl]-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 15 4-[4-(5-Chloro-thiophen-2-yl)-benzyl]-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 4-[3-(5-Chloro-thiophen-2-yl)-4-fluoro-benzyl]-3-(S)-propyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 4-[4-(5-Chloro-thiophen-2-yl)-benzyl]-3-(S)-propyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 20 4-[5-(3-Chloro-phenyl)-furan-2-ylmethyl]-3-(S)-propyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 4-[3-(5-Chloro-thiophen-2-yl)-allyl]-3-(S)-propyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 4-(5-Chloro-1H-indol-2-ylmethyl)-3-(S)-propyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-25 2-one,
- 4-(5'-Chloro-[2,2']bithiophenyl-5-ylmethyl)-3-(S)-propyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 4-[4-(5-Chloro-thiophen-2-yl)-benzyl]-3-(S)-methoxymethyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 30 4-[5-(5-Chloro-thiophen-2-yl)-pyridin-2-ylmethyl]-3-(S)-methoxymethyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 1-(4-Amino-2-methyl-quinazolin-7-ylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-3-(S)-propyl-piperazin-2-one,
- 35 7-{4-[3-(5-Chloro-thiophen-2-yl)-acryloyl]-2-oxo-(S)-3-propyl-piperazin-1-ylmethyl}-3H-quinazolin-4-one,

- 7-[4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-2-oxo-(S)-3-propyl-piperazin-1-ylmethyl]-3H-quinazolin-4-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(4-chloro-thiophen-2-yl)-acryloyl]-(S)-6-methyl-(S)-3-propyl-piperazin-2-one
- 5 4-[3-(5-Chloro-thiophen-2-yl)-allyl]-(S)-3-ethyl-1-(4-hydroxy-quinolin-7-ylmethyl)-piperazin-2-one,
- 7-[4-[3-(5-Chloro-thiophen-2-yl)-allyl]-3-(S)-methoxymethyl-2-oxo-piperazin-1-ylmethyl]-2H-isoquinolin-1-one,
- 10 7-[4-(7-Chloro-isoquinolin-3-ylmethyl)-3-(S)-methoxymethyl-2-oxo-piperazin-1-ylmethyl]-2H-isoquinolin-1-one,
- 4-(5-Chloro-1H-indol-2-ylmethyl)-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-3-(S)-propyl-piperazin-2-one,
- 4-(5-Chloro-1H-indol-2-ylmethyl)-3-(S)-methyl-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-piperazin-2-one,
- 15 6-[4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-2-oxo-piperazin-1-ylmethyl]-3-methyl-3H-quinazolin-4-one,
- 6-[4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-2-oxo-piperazin-1-ylmethyl]-3H-quinazolin-4-one,
- 4-(7-Chloro-isoquinolin-3-ylmethyl)-3-(S)-methyl-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-20 piperazin-2-one,
- 4-(7-Chloro-isoquinolin-3-ylmethyl)-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-piperazin-2-one,
- 4-(7-Chloro-isoquinolin-3-ylmethyl)-1-[4-(6-methoxy-pyridin-3-yl)-benzyl]-3-(S)-methyl-piperazin-2-one,
- 25 4-(7-Chloro-isoquinolin-3-ylmethyl)-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-3-(S)-propyl-piperazin-2-one,
- 4-(7-Chloro-isoquinolin-3-ylmethyl)-1-[4-(6-methoxy-pyridin-3-yl)-benzyl]-piperazin-2-one
- 4-(7-Chloro-isoquinolin-3-ylmethyl)-1-[4-(6-methoxy-pyridin-3-yl)-benzyl]-3-(S)-propyl-piperazin-2-one,
- 30 4-(7-Chloro-isoquinolin-3-ylmethyl)-3-(S)-methoxymethyl-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-piperazin-2-one,
- 4-[3-(6-Amino-pyridin-3-yl)-propionyl]-3-(S)-methoxymethyl-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-piperazin-2-one,
- (S)-4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-6-methoxymethyl-1-[4-(6-methoxy-pyridin-3-yl)-35 benzyl]-piperazin-2-one,

- 4-[3-(5-Chloro-thiophen-2-yl)-acryloyl]-3(S)-isobutyl-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-piperazin-2-one,
4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-1-(3-imidazol-1-yl-benzyl)-3-(S)-methoxymethyl-piperazin-2-one,
5 4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-3(S)-isobutyl-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-piperazin-2-one,
4-[3-(6-Amino-pyridin-3-yl)-acryloyl]-3(S)-isobutyl-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-piperazin-2-one,
4-[3-(6-Amino-pyridin-3-yl)-acryloyl]-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-3-(S)-propyl-
10 piperazin-2-one,
4-[(5-Chloro-thiophen-3-yloxy)-acetyl]-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-3-(S)-propyl-piperazin-2-one,
4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-3-(S)-propyl-piperazin-2-one,
15 4-[3-(4-Chloro-thiophen-2-yl)-acryloyl]-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-3-(S)-propyl-piperazin-2-one,
4-[3-(5-Chloro-thiophen-2-yl)-acryloyl]-1-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-3-(S)-propyl-piperazin-2-one,
4-[3-(4-Chloro-thiophen-2-yl)-acryloyl]-1-[4-(6-methoxy-pyridin-3-yl)-benzyl]-3-(S)-propyl-
20 piperazin-2-one,
4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-1-[4-(6-methoxy-pyridin-3-yl)-benzyl]-3-(S)-propyl-piperazin-2-one,
4-[3-(5-Chloro-thiophen-2-yl)-acryloyl]-1-[4-(6-methoxy-pyridin-3-yl)-benzyl]-3-(S)-propyl-piperazin-2-one,
25 4-[(5-Chloro-thiophen-3-yloxy)-acetyl]-1-[4-(6-methoxy-pyridin-3-yl)-benzyl]-3-(S)-propyl-piperazin-2-one,
2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-6,7-dihydro-5H-benzothiazol-4-one,
2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-4-methyl-thiazole-5-
30 carboxylic acid methylamide,
2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-4-methyl-thiazole-5-carboxylic acid dimethylamide,
4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(4-pyridin-4-yl-thiazol-2-ylmethyl)-piperazin-2-one hydrobromide,

- 2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-4,5,6,7-tetrahydrobenzothiazole-4-carboxylic acid amide,
- {2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazol-4-yl}-acetic acid methyl ester,
- 5 2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazole-4-carboxylic acid ethyl ester,
- 2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-4-methyl-thiazole-5-carboxylic acid methyl ester,
- 10 1-(4-tert-Butyl-thiazol-2-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-piperazin-2-one,
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[4-(5-chloro-thiophen-2-yl)-thiazol-2-ylmethyl]-piperazin-2-one,
- 1-[4-(4-Bromo-phenyl)-thiazol-2-ylmethyl]-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-piperazin-2-one,
- 1-[4-(3-Bromo-phenyl)-thiazol-2-ylmethyl]-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-piperazin-15 2-one,
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(4-methyl-thiazol-2-ylmethyl)-piperazin-2-one,
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(4-pyridin-3-yl-thiazol-2-ylmethyl)-piperazin-2-one,
- 1-(5-Acetyl-4-methyl-thiazol-2-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-piperazin-2-one,
- 20 3-{2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazol-4-yl}-3-methyl-butyric acid ethyl ester,
- 1-(4-Adamantan-1-yl-thiazol-2-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-piperazin-2-one,
- 1-(4-Adamantan-1-yl-thiazol-2-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-piperazin-25 one,
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(4-phenyl-thiazol-2-ylmethyl)-piperazin-2-one,
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[4-(4-hydroxy-phenyl)-thiazol-2-ylmethyl]-piperazin-2-one,
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[4-(4-hydroxy-phenyl)-thiazol-2-ylmethyl]-30 piperazin-2-one,
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(4,5,6,7-tetrahydro-benzothiazol-2-ylmethyl)-piperazin-2-one,
- 2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazole-4-carboxylic acid dimethylamide,

- 2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-4,5,6,7-tetrahydrobenzothiazole-4-carboxylic acid ethyl ester,
- 2-{2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazol-4-yl}-benzoic acid,
- 5 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[4-(2-hydroxy-phenyl)-thiazol-2-ylmethyl]-piperazin-2-one,
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(4-pyridin-2-yl-thiazol-2-ylmethyl)-piperazin-2-one,
- 10 2-{2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazol-4-yl}-benzamide,
- 14 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(4,5,6,7-tetrahydro-thiazolo[5,4-c]pyridin-2-ylmethyl)-piperazin-2-one
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(5-methyl-4,5,6,7-tetrahydro-thiazolo[5,4-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 15 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(4,5,6,7-tetrahydro-thiazolo[4,5-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(5-methyl-4,5,6,7-tetrahydro-thiazolo[4,5-c]pyridin-2-ylmethyl)-piperazin-2-one,
- 20 2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-4,7-dihydro-5H-thiazolo[4,5-c]pyridin-6-one,
- (R)-2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-methoxymethyl-6-oxo-piperazin-1-ylmethyl]-4,5,6,7-tetrahydro-benzothiazole-4-carboxylic acid amide,
- (R)-4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-6-methoxymethyl-1-(4,5,6,7-tetrahydro-benzothiazol-2-ylmethyl)-piperazin-2-one,
- (R)-2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-methoxymethyl-6-oxo-piperazin-1-ylmethyl]-25 thiazole-4-carboxylic acid ethyl ester,
- (R)-2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-methoxymethyl-6-oxo-piperazin-1-ylmethyl]-4-methyl-thiazole-5-carboxylic acid dimethylamide,
- (R)-4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-6-methoxymethyl-1-(4-pyridin-3-yl-thiazol-2-ylmethyl)-piperazin-2-one,
- 30 (R)-3-{2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-methoxymethyl-6-oxo-piperazin-1-ylmethyl]-thiazol-4-yl}-3-methyl-butrylic acid ethyl ester,
- (R)-2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-methoxymethyl-6-oxo-piperazin-1-ylmethyl]-thiazole-4-carboxylic acid,
- (R)-2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-methoxymethyl-6-oxo-piperazin-1-ylmethyl]-35 thiazole-4-carboxylic acid dimethylamide,

- (S)-2-{4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-3(S)-methoxymethyl-2-oxo-piperazin-1-ylmethyl}-4,5,6,7-tetrahydro-benzothiazole-4-carboxylic acid amide,
- (S)-2-{4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-3(S)-methoxymethyl-2-oxo-piperazin-1-ylmethyl}-thiazole-4-carboxylic acid ethyl ester,
- 5 (S)-2-{4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-3(S)-methoxymethyl-2-oxo-piperazin-1-ylmethyl}-thiazole-4-carboxylic acid dimethylamide,
- (S)-(2-{4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-3(S)-methoxymethyl-2-oxo-piperazin-1-ylmethyl}-thiazol-4-yl)-acetic acid methyl ester,
- (S)-4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-3(S)-methoxymethyl-1-(4,5,6,7-tetrahydro-10 benzothiazol-2-ylmethyl)-piperazin-2-one,
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(4-hydroxy-4,5,6,7-tetrahydro-benzothiazol-2-ylmethyl)-piperazin-2-one,
- 2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-6,7-dihydro-5H-benzothiazol-4-one oxime,
- 15 1-(4-Amino-benzothiazol-2-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-piperazin-2-one,
- 2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-5,6,7,8-tetrahydro-thiazolo[4,5-c]azepin-4-one,
- 2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazole-4-carboxylic 20 acid dimethylamide,
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[4-(pyrrolidine-1-carbonyl)-thiazol-2-ylmethyl]-piperazin-2-one,
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[4-(morpholine-4-carbonyl)-thiazol-2-ylmethyl]-piperazin-2-one,
- 25 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[4-(piperazine-1-carbonyl)-thiazol-2-ylmethyl]-piperazin-2-one,
- 2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazole-4-carboxylic acid N',N'-dimethyl-hydrazine,
- 2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazole-4-carboxylic 30 acid (2-hydroxy-ethyl)-methyl-amide,
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[4-(3-hydroxy-pyrrolidine-1-carbonyl)-thiazol-2-ylmethyl]-piperazin-2-one,
- 2-(4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl)-thiazole-4-carboxylic acid methoxy-methyl-amide,

- 2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazole-4-carboxylic acid isopropyl-methyl-amide,
({2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazole-4-carbonyl}-methyl-amino)-acetic acid ethyl ester,
- 5 2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazole-4-carboxamide,
2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazole-4-carboxylic acid methylamide,
2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazole-4-carboxylic acid isopropylamide,
10 {2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazol-4-yl}-acetic acid,
2-{2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazol-4-yl}-acetamide,
15 2-{2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazol-4-yl}-N-methyl-acetamide,
2-{2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazol-4-yl}-N-isopropyl-acetamide,
2-{2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazol-4-yl}-N,N-dimethyl-acetamide,
20 2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-4,7-dihydro-5H-thiazolo[5,4-c]pyridin-6-one,
4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-piperidin-4-ylmethyl-piperazin-2-one,
4-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-piperidine-1-carboxylic acid amide,
25 2-{4-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-piperidin-1-yl}-acetamide,
4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[1-(2-chloro-pyrimidin-4-yl)-piperidin-4-ylmethyl]-piperazin-2-one,
30 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-piperazin-2-one,
(R)-4-(5-chloro-1H-indole-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-piperazin-2-one,
(R)-4-(6-chloro-1H-indole-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-
35 ylmethyl]-6-piperazin-2-one.

- (R)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-piperazin-2-one,
- (R)-4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-methyl-piperazin-2-one,
- 5 (R)-4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-methoxymethyl-piperazin-2-one,
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-oxo-piperazine-2-carboxylic acid methyl ester,
- (R)-4-(5-chloro-1H-indole-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-methyl-piperazin-2-one,
- 10 (R)-4-(5-chloro-1H-indole-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-methoxymethyl-piperazin-2-one,
- 4-(5-chloro-1H-indole-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-oxo-piperazine-2-carboxylic acid methyl ester,
- 15 (R)-4-(6-chloro-1H-indole-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-methyl-piperazin-2-one,
- (R)-4-(6-chloro-1H-indole-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-methoxymethyl-piperazin-2-one,
- 4-(6-chloro-1H-indole-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-oxo-piperazine-2-carboxylic acid methyl ester,
- 20 (R)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-methyl-piperazin-2-one,
- (R)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-methoxymethyl-piperazin-2-one,
- (R)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-oxo-piperazine-2-carboxylic acid methyl ester,
- 25 (R)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-6-methyl-piperazin-2-one,
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-{1-[2-(2-hydroxy-ethylamino)-pyrimidin-4-yl]-piperidin-4-ylmethyl}-piperazin-2-one,
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-{1-[2-(4-dimethylamino-butylamino)-pyrimidin-4-yl]-piperidin-4-ylmethyl}-piperazin-2-one,
- 30 (R)-4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-{1-[2-(3-imidazol-1-yl-propylamino)-pyrimidin-4-yl]-piperidin-4-ylmethyl}-piperazin-2-one,
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-{1-[2-(3-morpholin-4-yl-propylamino)-pyrimidin-4-yl]-piperidin-4-ylmethyl}-piperazin-2-one,

- 4-[(4-{4-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-yl]methyl}-piperidin-1-yl)-pyrimidin-2-yl]-methyl-amino]-butyric acid,
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-{1-[2-(2-dimethylamino-ethoxy)-pyrimidin-4-yl]-piperidin-4-yl}methyl]-piperazin-2-one,
- 5 Example 1270 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-{1-[2-(2-oxo-imidazolidin-1-yl)-ethylamino]-pyrimidin-4-yl}-piperidin-4-ylmethyl]-piperazin-2-one,
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-{1-[2-(2-dimethylamino-ethylsulfanyl)-pyrimidin-4-yl]-piperidin-4-yl}methyl]-piperazin-2-one,
- 4-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-yl]methyl]-3,4,5,6-tetrahydro-
- 10 2H-[1,2']bipyridinyl-5'-carboxylic acid,
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(1-pyrimidin-2-yl-piperidin-4-yl)methyl]-piperazin-2-one,
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(1-pyrazin-2-yl-piperidin-4-yl)methyl]-piperazin-2-one,
- 15 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl-4-yl)methyl]-piperazin-2-one,
- 4-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-yl]methyl]-3,4,5,6-tetrahydro-
- 20 2H-[1,2']bipyridinyl-3'-carboxylic acid,
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(6'-methoxy-3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl-4-yl)methyl]-piperazin-2-one,
- O-Phenyl-1-cyano-3-{4-[(chlorobenzo[b]thiophene-2-sulfonyl)-2-(keto)piperazin-1-yl]methylpiperdin-1-yl} isourea,
- 25 Preparation of N,N Dimethyl-2-{4-[6-(chlorobenzo[b]thiophene-2-sulfonyl)-2-(keto)piperazin-1-yl]methylpiperdin-1-yl} cyanoformamidine,
- Preparation of N-Methyl-2-{4-[6-(chlorobenzo[b]thiophene-2-sulfonyl)-2-(keto)piperazin-1-yl]methylpiperdin-1-yl} cyanoformamidine,
- Preparation of N-trans-[(4-(5-Chloro-thiophen-2-yloxy)-acetyl-2-keto-3-(S)-methoxymethyl)-
- 30 piperazin-1-yl]methylcyclohexyl-cyanoguanidine,
- N-trans-[(4-(5-Chloro-thiophen-2-yloxy)-acetyl-2-keto-3-(S)-methoxymethyl)-piperazin-1-yl]methylcyclohexyl-N',N'-dimethyl-cyanoguanidine,
- N-trans-[(4-(5-Chloro-thiophen-2-yloxy)-acetyl-2-keto-3-(S)-methoxymethyl)-piperazin-1-yl]methylcyclohexyl-N'-methyl-cyanoguanidine

N-trans-[{4-(5-Chloro-thiophen-2-yloxy)-acetyl-2-keto-3-(S)-methoxymethyl}-piperazin-1-yl]methylcyclohexyl-N'-(2-hydroxyethyl)-N'-methyl-cyanoguanidine,
Preparation of 4-[(5-Chlorothiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-1-cis-(4-morpholin-4-yl-cyclohexylmethyl)-piperazin-2-one,
5 and 4-[(5-Chlorothiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-1-trans-(4-morpholin-4-yl-cyclohexylmethyl)-piperazin-2-one,
4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-1-trans-{4-[(2-hydroxy-ethyl)-methyl-1-amino]-cyclohexylmethyl}-3-(S)-methoxymethyl-piperazin-2-one,
4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-1-cis-{4-[2-(R,S)-(1-methyl-
10 pyrrolidin-2-yl)-ethylamino]-cyclohexylmethyl}-piperazine-2-one,
4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-1-trans-{4-[2-(R,S)-(1-methyl-
pyrrolidin-2-yl)-ethylamino]-cyclohexylmethyl}-piperazine-2-one,
4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-1-cis-[4-(2-pyridin-2-yl-ethylamino)-
cyclohexylmethyl]-piperazin-2-one,
15 4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-1-trans-[4-(2-pyridin-2-yl-
ethylamino)-cyclohexylmethyl]-piperazin-2-one,
4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-1-cis-[4-(2-dimethylamino-ethylamino)-cyclohexylmethyl]-
3-(S)-methoxymethyl-piperazin-2-one,
4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-1-trans-[4-(2-dimethylamino-ethylamino)-
20 cyclohexylmethyl]-3-(S)-methoxymethyl-piperazin-2-one,
4-(4-cis-{4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-2-oxo-piperazin-1-
ylmethyl}-piperazine-1-carboxylic acid ethyl ester,
4-(4-trans-{4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-2-oxo-piperazin-1-
ylmethyl}-piperazine-1-carboxylic acid ethyl ester,
25 4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-1-cis-([4-(4-hydroxy-piperidin-1-yl)-cyclohexylmethyl]-3-(S)-
methoxymethyl-piperazin-2-one,
4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-1-trans-([4-(4-hydroxy-piperidin-1-yl)-cyclohexylmethyl]-
3-(S)-methoxymethyl-piperazin-2-one,
1-cis-(4-Azepan-1-yl-cyclohexylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-3-(S)-
30 methoxymethyl-piperazin-2-one,
1-trans-(4-Azepan-1-yl-cyclohexylmethyl)-4-[(5-chloro-thiophen-2-yloxy)-acetyl]-3-(S)-
methoxymethyl-piperazin-2-one,
4-[(5-chloro-thiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-1-cis-{4-[(pyridin-2-ylmethyl)-
amino]-cyclohexylmethyl}-piperazin-2-one,

- 4-[(5-chloro-thiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-1-trans-{4-[(pyridin-2-ylmethyl)-amino]-cyclohexylmethyl}-piperazin-2-one,
- 4-[(5-chloro-thiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-1-cis-(4-phenylamino-cyclohexylmethyl)-piperazin-2-one,
- 5 4-[(5-chloro-thiophen-2-yloxy)-acetyl]-3-(S)-methoxymethyl-1-trans-(4-phenylamino-cyclohexylmethyl)-piperazin-2-one,
- 4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-1-cis-{4-[2-(2-hydroxy-ethoxy)-ethylamino]-cyclohexylmethyl}-3-(S)-methoxymethyl-piperazin-2-one,
- 4-[(5-Chloro-thiophen-2-yloxy)-acetyl]-1-trans-{4-[2-(2-hydroxy-ethoxy)-ethylamino]-cyclohexylmethyl}-3-(S)-methoxymethyl-piperazin-2-one,
- 10 cyclohexylmethyl)-3-(S)-methoxymethyl-piperazin-2-one,
- 4-[(5-Chlorothiophen-2-yloxy)-acetyl]-1-[(2-{[N,N-dimethylaminoethyl]-amino}-pyrimidin-5-yl)-methyl]-3-(S)-methoxymethyl-piperazine-2-one,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(4-chloro-phenyl)-allyl]-piperazine-2,3-dione,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophen-2-yl-methyl)-piperazine-2,3-
- 15 dione,
- 1-(4-Amino-quinolin-7-ylmethyl)-4-[3-(4-chloro-phenyl)-allyl]-piperazine-2,3-dione,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5'-chloro-[2,2']bithiophenyl-5-ylmethyl)-piperazine-2,3-dione,
- 1-(3-carbamimidoyl-benzyl)-4-(4-carbamimidoyl-benzyl)-2,3 dioxopiperizine,
- 20 Bis-1,4-(3-carbamimidoyl-benzyl)-2,3-dioxopiperizine,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(3-chloro-phenyl)-allyl]-piperazine-2,3-dione,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-piperazine-2,3-dione,
- 1-(4-Amino-quinolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophen-2-yl-methyl)-piperazine-2,3-dione,
- 25 1-(4-Amino-quinolin-7-ylmethyl)-4-(5'-chloro-[2,2']bithiophenyl-5-ylmethyl)-piperazine-2,3-dione,
- 1-(4-Amino-quinolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-piperazine-2,3-dione,
- 1-[3-(3-chloro-phenyl)-allyl]-4-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazine-2,3-dione,
- 1-[3-(4-chloro-phenyl)-allyl]-4-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazine-2,3-dione,
- 1-[3-(5-chloro-thiophen-2-yl)-allyl]-4-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazine-2,3-dione,
- 30 1-(6-chloro-benzo[b]thiophen-2-yl-methyl)-4-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazine-2,3-dione,
- 1-(5'-chloro-[2,2']bithiophenyl-5-ylmethyl)-4-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazine-2,3-dione,
- 1-[3-(5-chloro-thiophen-2-yl)-allyl]-4-(1H-pyrrolo[2,3-c]pyridin-2-ylmethyl)-piperazine-2,3-dione,
- 35 1-[3-(5-chloro-thiophen-2-yl)-allyl]-4-(thieno[3,2-b]pyridin-2-ylmethyl)-piperazine-2,3-dione,

- 1-[3-(5-chloro-thiophen-2-yl)-allyl]-4-(4-pyridin-2-yl-benzyl)-piperazine-2,3-dione,
1-[3-(5-chloro-thiophen-2-yl)-allyl]-4-[4-(1-hydroxy-pyridin-2-yl)-benzyl]-piperazine-2,3-dione,
1-[3-(5-chloro-thiophen-2-yl)-allyl]-4-(4-pyridin-4-yl-benzyl)-piperazine-2,3-dione,
1-[3-(5-chloro-thiophen-2-yl)-allyl]-4-[4-(1-hydroxy-pyridin-4-yl)-benzyl]-piperazine-2,3-dione,
5 1-[3-(5-chloro-thiophen-2-yl)-allyl]-4-[4-(6-methoxy-pyridin-3-yl)-benzyl]-piperazine-2,3-dione,
1-[3-(5-chloro-thiophen-2-yl)-allyl]-4-[4-(6-oxo-1,6-dihydro-pyridin-3-yl)-benzyl]-piperazine-2,3-dione,
1-[3-(5-chloro-thiophen-2-yl)-allyl]-4-[4-(2-dimethylamino-pyrimidin-4-yl)-benzyl]-piperazine-2,3-dione,
10 1-[3-(5-chloro-thiophen-2-yl)-allyl]-4-(4-{2-[(2-dimethylamino-ethyl)-methyl-amino]-pyrimidin-4-yl}-benzyl)-piperazine-2,3-dione,
1-[3-(5-chloro-thiophen-2-yl)-allyl]-4-[4-(2-dimethylamino-pyrimidin-4-yl)-cyclohexymethyl]-piperazine-2,3-dione,
1-[3-(5-chloro-thiophen-2-yl)-allyl]-4-(4-{2-[(2-dimethylamino-ethyl)-methyl-amino]-pyrimidin-4-yl}-cyclohexylmethyl)-piperazine-2,3-dione,
15 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-5-methyl-piperazine-2,3-dione,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-5-ethyl-piperazine-2,3-dione,
20 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-5-propyl-piperazine-2,3-dione,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-5-butyl-piperazine-2,3-dione,
25 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-5-isopropyl-piperazine-2,3-dione,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-5-isobutyl-piperazine-2,3-dione,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-allyl]-5-methoxymethyl-piperazine-2,3-dione,
30 4-(4-Amino-quinazolin-7-ylmethyl)-1-[3-(5-chloro-thiophen-2-yl)-allyl]-5,6-dioxopiperazine-2-carboxylic acid,
4-(4-Amino-quinazolin-7-ylmethyl)-1-[3-(5-chloro-thiophen-2-yl)-allyl]-5,6-dioxopiperazine-2-carboxylic acid methyl ester,
4-(4-Amino-quinazolin-7-ylmethyl)-1-[3-(5-chloro-thiophen-2-yl)-allyl]-5,6-dioxopiperazine-2-
35 carboxylic acid amide or

4-(4-Amino-quinazolin-7-ylmethyl)-1-[3-(5-chloro-thiophen-2-yl)-allyl]-5,6-dioxopiperazine-2-carboxylic acid methyl amide
or a pharmaceutically acceptable salt thereof, pharmaceutically acceptable prodrug thereof, an N-oxide thereof, a hydrate thereof or a solvate thereof.

5

20. A compound according to claim 1 selected from the group consisting of
(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-methoxymethyl-piperazin-2-one,
(+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-piperazine-2-carboxylic acid (2-pyrrolidin-1-yl-ethyl)-amide,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzimidazole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one,
[1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-piperazin-2-(S)-yl]-acetic acid,
1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-piperazin-2-one,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one,
2-Amino-4-[4-(6-chloro-1H-benzimidazole-2-sulfonyl)-2-(r)-methoxymethyl-6-oxo-piperazin-1-ylmethyl]-benzonitrile,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-isopropyl-piperazin-2-one,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzimidazole-2-sulfonyl)-6-isopropyl-piperazin-2-one,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one,
(R/S)1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzimidazole-2-sulfonyl)-6-oxo-piperazine-2-carboxylic acid ethyl ester,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzimidazole-2-sulfonyl)-6-isopropyl-piperazin-2-one,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-isopropyl-piperazin-2-one,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-isopropyl-piperazin-2-one,

(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-isopropyl-piperazin-2-one,

(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-isopropyl-piperazin-2-one,

(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzimidazole-2-sulfonyl)-6-isobutyl-piperazin-2-one,

(S)-1-(4-Amino-6-chloro-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzimidazole-2-sulfonyl)-6-isobutyl-piperazin-2-one,

(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one,

(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzimidazole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one,

(6S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzimidazole-2-sulfonyl)-6-methyl-piperazin-2-one,

(6S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-methyl-piperazin-2-one,

(6S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-methyl-piperazin-2-one,

(6S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-methyl-piperazin-2-one,

(R/S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-oxo-piperazine-2-carboxylic acid methyl ester,

(R/S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-oxo-piperazine-2-carboxylic acid methyl ester,

(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-isobutyl-piperazin-2-one,

(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzimidazole-2-sulfonyl)-6-isobutyl-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-(3-bromo-5-chloro-1H-indol-2-ylmethyl)-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-3-methyl-1H-indol-2-ylmethyl)-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-(3,5-dichloro-1H-indol-2-ylmethyl)-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-3-pyrrolidin-1-ylmethyl-1H-indol-2-ylmethyl)-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-3-morpholin-4-ylmethyl-1H-indol-2-ylmethyl)-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-3-methylaminomethyl-1H-indol-2-ylmethyl)-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-3-dimethylaminomethyl-1H-indol-2-ylmethyl)-piperazin-2-one,
(S)-4-[4-(6-Chloro-1H-benzimidazole-2-sulfonyl)-2-isobutyl-6-oxo-piperazin-1-ylmethyl]-benzamidine,
(S)-4-[4-(5-Chloro-1H-indole-2-sulfonyl)-2-isobutyl-6-oxo-piperazin-1-ylmethyl]-benzamidine,
(S)-4-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-isobutyl-6-oxo-piperazin-1-ylmethyl]-benzamidine,
(S)-4-[4-(6-Chloro-1H-indole-2-sulfonyl)-2-isobutyl-6-oxo-piperazin-1-ylmethyl]-benzamidine,
1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-6-isobutyl-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-6-methoxymethyl-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-6-methoxymethyl-piperazin-2-one,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-6-isobutyl-piperazin-2-one,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophen-2-ylmethyl)-6-methoxymethyl-piperazin-2-one,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophen-2-ylmethyl)-6-isobutyl-piperazin-2-one,
(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophen-2-ylmethyl)-6-methoxymethyl-piperazin-2-one,
(6S)-2-[1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-6-oxo-piperazin-2-ylmethyl]-isoindole-1,3-dione,
(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophen-2-ylmethyl)-6-isobutyl-piperazin-2-one,
(6S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-6-morpholin-4-ylmethyl-piperazin-2-one,
(6S)-6-Aminomethyl-1-(4-amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-piperazin-2-

one,

(6*S*)-N-[1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1*H*-indol-2-ylmethyl)-6-oxo-piperazin-2-ylmethyl]-acetamide

4-(3-Acetyl-5-chloro-1*H*-indol-2-ylmethyl)-1-(4-amino-quinazolin-7-ylmethyl)-piperazin-2-one,

(6*S*)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1*H*-benzoimidazole-2-sulfonyl)-6-pyrrolidin-1-ylmethyl-piperazin-2-one

1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1*H*-indol-2-ylmethyl)-6-oxo-piperazine-2-carboxylic acid,

(2*S*)-N-[1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1*H*-indol-2-ylmethyl)-6-oxo-piperazin-2-ylmethyl]-methanesulfonamide,

(2*S*)-[1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1*H*-indol-2-ylmethyl)-6-oxo-piperazin-2-ylmethyl]-carbamic acid methyl ester,

(2*S*)-[1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1*H*-indol-2-ylmethyl)-6-oxo-piperazin-2-ylmethyl]-carbamic acid isopropyl ester,

(2*S*)-1-[1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1*H*-indol-2-ylmethyl)-6-oxo-piperazin-2-ylmethyl]-3-phenyl-urea,

(2*S*)-5-Bromo-thiophene-2-sulfonic acid [1-(4-amino-quinazolin-7-ylmethyl)-4-(5-chloro-1*H*-indol-2-ylmethyl)-6-oxo-piperazin-2-ylmethyl]-amide,

(2*S*)-[1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1*H*-indol-2-ylmethyl)-6-oxo-piperazin-2-ylmethyl]-urea,

(*S*)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1*H*-benzoimidazole-2-sulfonyl)-6-(isopropylamino-methyl)-piperazin-2-one,

(2*S*)-1-[1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1*H*-indol-2-ylmethyl)-6-oxo-piperazin-2-ylmethyl]-3-ethyl-urea,

(2*S*)-N-[1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1*H*-indol-2-ylmethyl)-6-oxo-piperazin-2-ylmethyl]-formamide,

(2*S*)-Furan-2-carboxylic acid [1-(4-amino-quinazolin-7-ylmethyl)-4-(5-chloro-1*H*-indol-2-ylmethyl)-6-oxo-piperazin-2-ylmethyl]-amide,

(*S*)-[1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1*H*-indol-2-ylmethyl)-6-oxo-piperazin-2-yl]-acetic acid,

(*S*)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-3-methyl-1*H*-indol-2-ylmethyl)-3-methoxymethyl-piperazin-2-one,

1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-3-fluoro-1*H*-indol-2-ylmethyl)-piperazin-2-one,

(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(3,5-dichloro-1H-indol-2-ylmethyl)-3-methoxymethyl-piperazin-2-one,

(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indol-2-ylmethyl)-6-(isopropylamino-methyl)-piperazin-2-one,

(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-6-(isopropylamino-methyl)-piperazin-2-one,

(6S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-6-pyrrolidin-1-ylmethyl-piperazin-2-one,

(6R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-6-methoxymethyl-piperazin-2-one,

(2R)-N-{1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-6-oxo-piperazin-2-ylmethyl}-N-(2-isopropoxy-ethyl)-formamide,

(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-[(2-isopropoxy ethylamino)-methyl]-piperazin-2-one,

(6S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-6-piperidin-1-ylmethyl-piperazin-2-one,

(6S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-6-[(cyclopentyl-methyl-amino)-methyl]-piperazin-2-one,

(6R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-6-ethoxymethyl-piperazin-2-one or

(6R)-1-(4-Amino-quinazolin-7-ylmethyl)-6-benzyloxymethyl-4-[5-(5-chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-piperazin-2-one

or a pharmaceutically acceptable salt thereof, pharmaceutically acceptable prodrug thereof, an N-oxide thereof, a hydrate thereof or a solvate thereof.

21. A compound according to claim 1 selected from the group consisting of

(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-methoxymethyl-piperazin-2-one,

(+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-piperazine-2-carboxylic acid 2-pyrrolidin-1-yl-ethyl ester,

[1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-piperazin-2-(S)-yl]-acetic acid tert-butyl ester,

(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-(isopropylamino-methyl)-piperazin-2-one,

(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-isopropyl-piperazin-2-one,
(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-isobutyl-piperazin-2-one,
(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-isobutyl-piperazin-2-one,
(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-isobutyl-piperazin-2-one,
(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-isobutyl-piperazin-2-one,
(R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-isobutyl-piperazin-2-one,
(6S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-(4-methyl-piperazin-1-ylmethyl)-piperazin-2-one,
(6S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-{[(2-dimethyl-amino-ethyl)-methyl-amino]-methyl}-piperazin-2-one,
(6S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-pyrrolidin-1-ylmethyl-piperazin-2-one,
(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-(isopropylamino-methyl)-piperazin-2-one,
(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-(isopropylamino-methyl)-piperazin-2-one,
(2R)-N-{1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-6-oxo-piperazin-2-ylmethyl}-N-(2-isopropoxy-ethyl)-formamidine,
(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-[[1,3]dioxolan-2-ylmethyl-methyl-amino]-methyl]-piperazin-2-one,
(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-(4-pyrrolidin-1-yl-piperidin-1-ylmethyl)-piperazin-2-one or
(S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-[(2-methoxy-ethylamino)-methyl]-piperazin-2-one
or a pharmaceutically acceptable salt thereof, pharmaceutically acceptable prodrug thereof, an N-oxide thereof, a hydrate thereof or a solvate thereof.

22. A compound according to claim 1 selected from the group consisting of
1-[4-(2-Chloro-pyrimidin-4-yl)-benzyl]-4-[3-(5-chloro-thiophen-2-yl)-allyl]-piperazine-2,3-dione,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[4-(5-chloro-thiophen-2-yl)-benzyl]-piperazine-2,3-dione,
1-[4-(5-Chloro-thiophen-2-yl)-benzyl]-4-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazine-2,3-
dione,
1-(4-Amino-quinolin-7-ylmethyl)-4-[4-(5-chloro-thiophen-2-yl)-benzyl]-piperazine-2,3-dione,
1-[1-(2-Chloro-pyrimidin-4-yl)-piperidin-4-ylmethyl]-4-[3-(5-chloro-thiophen-2-yl)-allyl]-
piperazine-2,3-dione,
1-[3-(5-Chloro-thiophen-2-yl)-allyl]-5-(S)-isopropyl-4-(3,4,5,6-tetrahydro-2H-[1,4']bipyridinyl-4-
ylmethyl)-piperazine-2,3-dione,
1-[3-(5-Chloro-thiophen-2-yl)-allyl]-4-(3,4,5,6-tetrahydro-2H-[1,4']bipyridinyl-4-ylmethyl)-
piperazine-2,3-dione,
1-[3-(5-Chloro-thiophen-2-yl)-allyl]-4-(4-pyridin-3-yl-benzyl)-piperazine-2,3-dione,
1-[5-(5-Chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-4-(4-pyridin-4-yl-benzyl)-piperazine-2,3-
dione,
1-[4-(6-Amino-pyridin-3-yl)-benzyl]-4-[3-(5-chloro-thiophen-2-yl)-allyl]-piperazine-2,3-dione,
1-[3-(5-Chloro-thiophen-2-yl)-allyl]-4-[4-(1-oxy-pyridin-3-yl)-benzyl]-piperazine-2,3-dione,
1-[5-(5-Chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-5-(S)-isopropyl-4-(3,4,5,6-tetrahydro-2H-
[1,4']bipyridinyl-4-ylmethyl)-piperazine-2,3-dione,
1-[3-(5-Chloro-thiophen-2-yl)-allyl]-5-(S)-isopropyl-4-(4-pyrimidin-4-yl-benzyl)-piperazine-2,3-
dione,
1-[3-(5-Chloro-thiophen-2-yl)-allyl]-4-(4-pyrimidin-4-yl-benzyl)-piperazine-2,3-dione or
1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-piperazine-
2,3-dione
25 or a pharmaceutically acceptable salt thereof, pharmaceutically acceptable prodrug thereof, an
N-oxide thereof, a hydrate thereof or a solvate thereof.

23. A compound according to claim 1 selected from the group consisting of
(+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-
30 oxo-piperazine-2-carboxylic acid;
(+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-
oxo-piperazine-2-carboxylic acid methyl ester;
(+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-
oxo-piperazine-2-carboxylic acid ethyl ester;

- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)--oxo-piperazine-2-carboxylic acid 2-pyrrolidin-1-yl-ethyl ester;
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)--oxo-piperazine-2-carboxylic acid 2-pyrrolidin-1-yl-ethyl amide;
- 5 (+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-oxo-piperazine-2-carboxylic acid methyl ester;
- (+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-oxo-piperazine-2-carboxylic acid methyl ester;
- (+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzimidazole-2-sulfonyl)-6-oxo-piperazine-2-carboxylic acid methyl ester;
- 10 (S)-[1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-piperazin-2-yl] acetic acid;
- (S)-[1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-piperazin-2-yl] acetic acid tert-butyl ester;
- 15 (+/-)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-methyl-piperazin-2-one;
- (S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-methyl-piperazin-2-one;
- (R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-20 methyl-piperazin-2-one;
- (S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-isopropyl-piperazin-2-one;
- (R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-isopropyl-piperazin-2-one;
- 25 (S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-isobutyl-piperazin-2-one;
- (R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-isobutyl-piperazin-2-one;
- (R)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-30 methoxymethyl-piperazin-2-one;
- (S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-methoxymethyl-piperazin-2-one;
- (S)-1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-benzo[b]thiophene-2-sulfonyl)-6-isopropylaminomethyl-piperazin-2-one;

(S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-methyl-piperazin-2-one;

(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-methyl-piperazin-2-one;

5 (S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-isopropyl-piperazin-2-one;

(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-isopropyl-piperazin-2-one;

10 (S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-isobutyl-piperazin-2-one;

(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-isobutyl-piperazin-2-one;

(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one;

15 (S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-indole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one;

(S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-methyl-piperazin-2-one;

20 (R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-methyl-piperazin-2-one;

(S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-isopropyl-piperazin-2-one;

(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-isopropyl-piperazin-2-one;

25 (S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-isobutyl-piperazin-2-one;

(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-isobutyl-piperazin-2-one;

30 (R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one;

(S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(5-chloro-1H-indole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one;

(S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-methyl-piperazin-2-one;

(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-methyl-piperazin-2-one;

(S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-isopropyl-piperazin-2-one;

5 (R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-isopropyl-piperazin-2-one;

(S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-isobutyl-piperazin-2-one;

10 (R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-isobutyl-piperazin-2-one;

(R)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one;

(S)- 1-(4-Amino-quinazolin-7-ylmethyl)-4-(6-chloro-1H-benzoimidazole-2-sulfonyl)-6-methoxymethyl-piperazin-2-one;

15 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(3,4,5,6-tetrahydro-2H-[1,2']bipyridinyl-4-ylmethyl)-piperazin-2-one;

4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[1-(2-dimethylamino-pyrimidin-4-yl)-piperidin-4-ylmethyl]-piperazin-2-one;

20 N,N-Dimethyl-N4{[(chlorobenzo[b]thiophene-2-sulfonyl)-2-(keto)piperazin-1-yl]methylpiperdinyl} cyanoguanidine;

4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-{1-[2-(2-hydroxy-ethylamino)-pyrimidin-4-yl]-piperidin-4-ylmethyl}-piperazin-2-one;

4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-[1-pyrimidin-4-yl]-piperidin-4-ylmethyl]-piperazin-2-one;

25 3-{2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazol-4-yl}-3-methyl-butyric acid ethyl ester;

(R)-2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-methoxymethyl-6-oxo-piperazin-1-ylmethyl]-4,5,6,7-tetrahydro-benzothiazole-4-carboxylic acid amide;

2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-4,5,6,7-

30 tetrahydro-benzothiazole-4-carboxylic acid ethyl ester;

4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(4,5,6,7-tetrahydro-benzothiazol-2-ylmethyl)-piperazin-2-one;

(R)-4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-6-methoxymethyl-1-(4-pyridin-3-yl-thiazol-2-ylmethyl)-piperazin-2-one;

- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(4-hydroxy-4,5,6,7-tetrahydro-benzothiazol-2-ylmethyl)-piperazin-2-one;
- 2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazole-4-carboxylic acid isopropyl-methyl-amide;
- 5 2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-4,5,6,7-tetrahydro-benzothiazole-4-carboxylic acid amide;
- 10 2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-6,7-dihydro-5H-benzothiazol-4-one oxime;
- 15 2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-thiazole-4-carboxylic acid methoxy-methyl-amide;
- 20 2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-oxo-piperazin-1-ylmethyl]-6,7-dihydro-5H-benzothiazol-4-one;
- (R)-2-[4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-2-methoxymethyl-6-oxo-piperazin-1-ylmethyl]-thiazole-4-carboxylic acid dimethylamide;
- 25 1-[2-(5-Chloro-thiophen-2-yl)-ethenesulfonyl]-5-oxo-4-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazine-2-carboxylic acid methyl ester;
- 1-[2-(5-Chloro-thiophen-2-yl)-ethenesulfonyl]-5-oxo-4-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazine-2-carboxylic acid methyl ester;
- 30 1-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-5-oxo-4-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazine-2-carboxylic acid methyl ester;
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-6-hydroxymethyl-1-(1-methyl-1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one;
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-6-oxo-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazine-2-carboxylic acid methyl ester;
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-6-methoxymethyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one; and
- 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one;
- or a pharmaceutically acceptable salt thereof, pharmaceutically acceptable prodrug thereof, an N-oxide thereof, a hydrate thereof or a solvate thereof.

24. A compound according to claim 1 selected from the group consisting of 1-4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]- piperazin-2-one ditrifluoroacetate,

- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-3-(S)-methoxymethyl-piperazin-2-one ditrifluoroacetate,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-3-(S)-propyl-piperazin-2-one ditrifluoroacetate,
- 5 1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-3-(S)-methyl-piperazin-2-one ditrifluoroacetate,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-isoxazol-5-ylmethyl]- piperazin-2-one ditrifluoroacetate,
- 10 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-isoxazol-5-ylmethyl]-3-methoxymethyl-piperazin-2-one ditrifluoroacetate,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-isoxazol-5-ylmethyl]-3-methyl-piperazin-2-one ditrifluoroacetate,
- 15 1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-2H-pyrazol-3-ylmethyl]-piperazin-2-one ditrifluoroacetate,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-2H-pyrazol-3-ylmethyl]-3-(S)-methoxymethyl-piperazin-2-one ditrifluoroacetate),
- 20 1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(3-chloro-phenyl)-4H-[1,2,4]triazol-3-ylmethyl]- (s)-3-methoxymethyl-piperazin-2-one ditrifluorocetate,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(3-chloro-phenyl)-4H-[1,2,4]triazol-3-yl-methyl]-piperazin-2-one ditrifluorocetate,
- 25 1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-4H-[1,2,4]triazol-3-ylmethyl]- (s)-3-methoxymethyl-piperazin-2-one ditrifluorocetate,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(4-chloro-phenyl)-4H-[1,2,4]triazol-3-yl-methyl]- (s)-3-methoxymethyl-piperazin-2-one ditrifluorocetate,
- 30 1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-[1,3,4]oxadiazol-2-ylmethyl]- (s)-3-methyl-piperazin-2-one ditrifluorocetate,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-4H-[1,2,4]triazol-3-ylmethyl]- (s)-3-methyl-piperazin-2-one ditrifluorocetate,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-4H-[1,2,4]triazol-3-ylmethyl]- (s)-3-methoxymethyl-piperazin-2-one ditrifluorocetate,

- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-[1,3,4]oxadiazol-2-ylmethyl]-piperazin-2-one ditrifluoracetate,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(4-chloro-phenyl)-4H-[1,2,4]triazol-3-yl-methyl]-piperazin-2-one ditrifluoracetate,
- 5 1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-[1,3,4]thiadiazol-2-ylmethyl]-piperazin-2-one ditrifluoracetate,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-[1,3,4]thiadiazol-3-ylmethyl]-*(s)*-3-methoxymethyl-piperazin-2-one ditrifluoracetate,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-[1,3,4]thiadiazol-3-ylmethyl]-*(s)*-10 3-methyl-piperazin-2-one ditrifluoracetate,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-[1,3,4]thiadiazol-3-ylmethyl]-*(s)*-3-propyl-piperazin-2-one ditrifluoracetate,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-[1,3,4]thiadiazol-3-ylmethyl]-*(s)*-3-ethyl-piperazin-2-one ditrifluoracetate,
- 15 1-(4-Amino-quinazolin-7-ylmethyl)-4-[5-(5-chloro-thiophen-2-yl)-pyridin-2-ylmethyl]-piperazin-2-one tr trifluoroacetate,
- 1-(4-Amino-quinazolin-7-ylmethyl)-4-[2-(5-chloro-thiophen-2-yl)-pyridin-5-yl-methyl]-piperazin-2-one tr trifluoroacetate,
- 4-[5-(5-Chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-1-(1*H*-pyrrolo[3,2-*c*]pyridin-2-ylmethyl)-20 piperazin-2-one ditrifluoroacetate,
- 4-[5-(5-Chloro-thiophen-2-yl)-4*H*-[1,2,4]triazol-3-ylmethyl]-1-(1*H*-pyrrolo[3,2-*c*]pyridin-2-ylmethyl)-piperazin-2-one ditrifluoroacetate,
- [5-(5-Chloro-thiophen-2-yl)-[1,3,4]oxadiazol-2-ylmethyl]-1-(1*H*-pyrrolo[3,2-*c*]pyridin-2-ylmethyl)-piperazin-2-one,
- 25 4-[5-(5-Chloro-thiophen-2-yl)-oxazol-2-ylmethyl]-1-(1*H*-pyrrolo[3,2-*c*]pyridin-2-ylmethyl)-piperazin-2-one ditrifluoroacetate,
- 4-[5-(5-Chloro-thiophen-2-yl)-[1,3,4]thiadiazol-2-ylmethyl]-1-(1*H*-pyrrolo[3,2-*c*]pyridin-2-ylmethyl)-piperazin-2-one ditrifluoroacetate,
- 4-[5-(5-Chloro-thiophen-2-yl)-2*H*-pyrazol-3-ylmethyl]-1-(1*H*-pyrrolo[3,2-*c*]pyridin-2-ylmethyl)-30 piperazin-2-one ditrifluoroacetate or
- 1-[5-(5-Chloro-thiophen-2-yl)-isoxazol-3-ylmethyl]-4-(1*H*-pyrrolo[3,2-*c*]pyridin-2-ylmethyl)-piperazin-2-one ditrifluoroacetate
- or a pharmaceutically acceptable salt thereof, pharmaceutically acceptable prodrug thereof, an N-oxide thereof, a hydrate thereof or a solvate thereof.

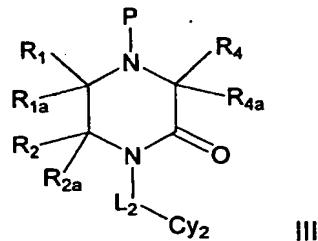
25. A compound according to claim 6 selected from the group consisting of 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-6-(R)-methoxymethyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
4-(6-Chloro-thieno[2,3-b]pyridine-2-sulfonyl)-6-(R)-methoxymethyl-1-(1H-pyrrolo [3,2-c]pyridin-
2-ylmethyl)-piperazin-2-one,
5 4-(5-Chloro-1H-indole-2-sulfonyl)-6-methoxymethyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-6-(S)-isopropyl-1-(1H-pyrrolo[3,2-c]pyridin-2-
10 ylmethyl)-piperazin-2-one,
4-[2-(5-Chloro-thiophen-2-yl)-ethenesulfonyl]-6-(S)-isopropyl-1-(1H-pyrrolo[3,2-c]pyridin-2-
ylmethyl)-piperazin-2-one,
4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-6-(S)-propyl-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-
15 piperazine-2-one,
4-[2-(5-Chloro-thiophen-2-yl)-ethenesulfonyl]-6-(S)- propyl-1-(1H-pyrrolo[3,2-c]pyridin-2-
ylmethyl)-piperazine-2-one,
4-(5-Chloro-1H-indole-2-sulfonyl)-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-piperazin-2-one,
4-[3-(5-Chloro-thiophen-2-yl)-isoxazol-5-ylmethyl]-1-(1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-
20 piperazin-2-one,
4-[4-(5-Chloro-thiophen-2-yl)-benzyl]-3-(S)-methoxymethyl-1-(1H-pyrrolo[3,2-c]pyridin-2-
ylmethyl)-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[3-(5-chloro-thiophen-2-yl)-benzyl]-piperazin-2-one,
1-(4-Amino-quinazolin-7-ylmethyl)-4-[4-(5-chloro-thiophen-2-yl)-benzyl]-piperazin-2-one,
25 4-(6-Chloro-benzo[b]thiophene-2-sulfonyl)-1-(7-methyl-1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-
piperazin-2-one or
4-[2-(5-Chloro-thiophen-2-yl)-ethenesulfonyl]-1-(7-methyl-1H-pyrrolo[3,2-c]pyridin-2-ylmethyl)-
piperazin-2-one
or a pharmaceutically acceptable salt thereof, pharmaceutically acceptable prodrug thereof, an
N-oxide thereof, a hydrate thereof or a solvate thereof.
- 30 26. A pharmaceutical composition comprising a pharmaceutically effective amount of a
compound according to claim 1 and a pharmaceutically acceptable carrier.
27. A method for treating a patient suffering from a physiological condition capable of being
modulated by inhibiting activity of Factor Xa comprising administering to said patient a
35 pharmaceutically effective amount of a compound according to claim 1.

28. A method for treating a patient suffering from a physiological condition capable of being modulated by directly inhibiting activity of both Factor Xa and Factor IIa comprising administering to said patient a pharmaceutically effective amount of a compound according to
5 claims 21 and 23.

29. A method for treating a patient suffering from a physiological condition capable of being modulated by directly inhibiting activity of both Factor Xa and Factor IIa comprising administering to said patient a pharmaceutically effective amount of a compound according to
10 claim 16.

30. A method for treating a patient suffering from a physiological condition capable of being modulated by directly inhibiting activity of both Factor Xa and Factor IIa comprising administering to said patient a pharmaceutically effective amount of a compound according to
15 claim 17.

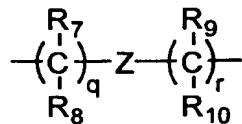
31. A compound of formula III



wherein P is H or a nitrogen protecting group;

20 R_1 , $\text{R}_{1\alpha}$, R_2 , $\text{R}_{2\alpha}$, R_4 and $\text{R}_{4\alpha}$ are independently selected from hydrogen, carboxy, alkoxy carbonyl, $\text{Y}_1\text{Y}_2\text{NC(O)}$ -, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl and optionally substituted heteroaralkyl, or R_1 and $\text{R}_{1\alpha}$, R_2 and $\text{R}_{2\alpha}$ or R_4 and $\text{R}_{4\alpha}$ taken together form O or S; or R_1 and R_2 together with the carbon atoms through which R_1 and R_2 are linked form a cycloalkyl group, cycloalkenyl group,
25 heterocyclyl group, or heterocyclenyl group; or $\text{R}_{1\alpha}$ and $\text{R}_{2\alpha}$ are absent and R_1 and R_2 together with the carbon atoms through which R_1 and R_2 are linked form an aryl or heteroaryl group; or R_1 and $\text{R}_{1\alpha}$ taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; or R_2 and $\text{R}_{2\alpha}$ taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group; or R_4
30 and $\text{R}_{4\alpha}$ taken together with the carbon atom through which they are linked form a 3 to 7 membered cycloalkyl or cycloalkenyl group;

L_2 is absent or a group of formula



- Cy₂ is selected from optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl, optionally substituted heterocyclyl,
 5 optionally substituted heterocyclenyl, optionally substituted fused arylcycloalkyl, optionally substituted fused arylcycloalkenyl, optionally substituted fused arylheterocyclyl, optionally substituted fused arylheterocyclenyl, optionally substituted fused heteroaryl(cycloalkyl), optionally substituted fused heteroaryl(cycloalkenyl), optionally substituted fused heteroaryl(heterocyclyl) and
 10 optionally substituted fused heteroaryl(heterocyclenyl);
 R₅ is hydrogen, optionally substituted alkyl, optionally substituted aralkyl, optionally substituted heteroaralkyl, R₆O(CH₂)v-, R₆O₂C(CH₂)x-, Y₁Y₂NC(O)(CH₂)x-, or Y₁Y₂N(CH₂)v-;
 R₆ is hydrogen, optionally substituted alkyl, optionally substituted aralkyl or optionally substituted heteroaralkyl;
 Y₁ and Y₂ are independently hydrogen, optionally substituted alkyl, optionally substituted aryl,
 15 optionally substituted aralkyl or optionally substituted heteroaralkyl, or Y₁ and Y₂ taken together with the N through which Y₁ and Y₂ are linked form a monocyclic heterocyclyl;
 R₇, R₈, R₉ and R₁₀ are independently selected from hydrogen, hydroxy, alkoxy, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl and optionally substituted heteroaralkyl, provided that only one of R₇ and R₈,
 20 or one of R₉ and R₁₀ is hydroxy or alkoxy, and further provided when R₇, R₈, R₉ and R₁₀ is hydroxy or alkoxy, then the hydroxy or alkoxy is not α -substituted to a N, O or S in Z;
 Z is absent or is selected from optionally substituted lower alkenylene, optionally substituted lower alkynylene, O, S(O)p, -C(O)-, NR₅, -NR₅C(O)- and -C(O)NR₅;
 x is 1, 2, 3 or 4;
 25 v is 2, 3 or 4; and
 q and r are independently 0, 1, 2 or 3, provided that q and r are not both 0, provided that when R₁, R_{1a}, R₂, R_{2a}, R₄ and R_{4a} are independently selected from hydrogen, carboxy, alkoxy carbonyl, Y₁Y₂NC(O)-, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl and optionally substituted heteroaralkyl then L₂ is absent, or when
 30 R₁, R_{1a}, R₂, R_{2a}, R₄ and R_{4a} are independently Y₁Y₂NC(O)- then Y₁ and Y₂ are independently hydrogen, optionally substituted alkoxy or optionally substituted aryloxy, but Y₁ and Y₂ are not simultaneously hydrogen, or when

R₁, R_{1a}, R₂, R_{2a}, R₄ and R_{4a} are independently selected from hydrogen, carboxy, alkoxycarbonyl, Y₁Y₂NC(O)-, optionally substituted alkyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroaryl and optionally substituted heteroaralkyl then Z is – C(O)–.